10/762,552R>

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1201txs

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS	19	FEB 16	STN User Update to be held in conjunction with the 229th ACS National Meeting on March 13, 2005
NEWS	20	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	21	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	22	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	23	MAR 02	GBFULL: New full-text patent database on STN
NEWS	24	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	25	MAR 03	MEDLINE file segment of TOXCENTER reloaded

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

10/762,552R>

specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:14:34 ON 05 MAR 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:14:40 ON 05 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 MAR 2005 HIGHEST RN 842103-48-4
DICTIONARY FILE UPDATES: 3 MAR 2005 HIGHEST RN 842103-48-4

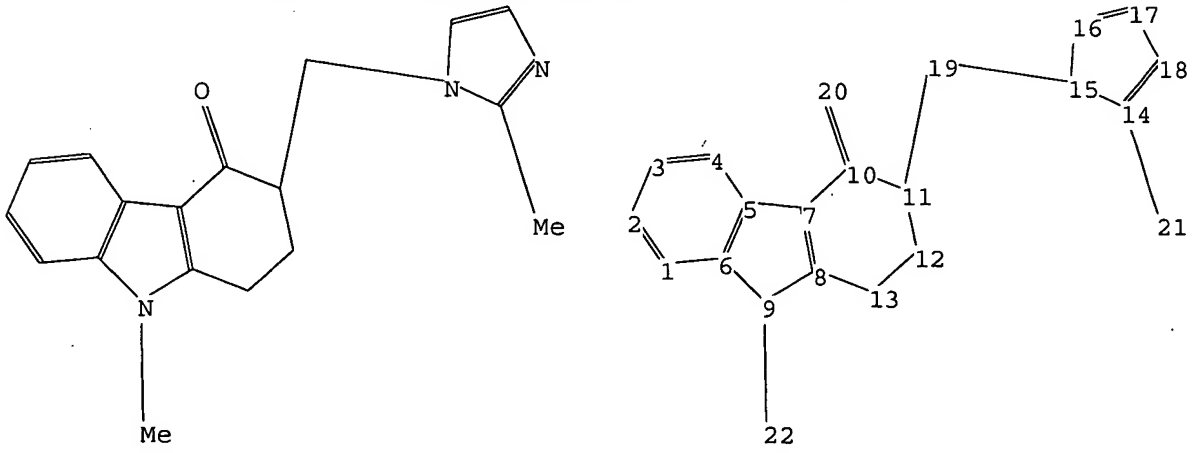
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10762552.str



chain nodes :
19 20 21 22
ring nodes :

10/762,552R>

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
9-22 10-20 11-19 14-21 15-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
14-15 14-18 15-16 16-17 17-18
exact/norm bonds :
6-9 8-9 10-20 14-15 14-18 15-16 15-19 17-18
exact bonds :
5-7 7-8 7-10 8-13 9-22 10-11 11-12 11-19 12-13 14-21 16-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 14 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 08:14:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 4 TO 200

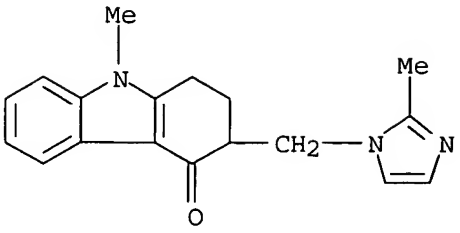
L2 4 SEA SSS SAM L1

=> d scan

L2 4 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, compd. with 2-propanol (2:1) (9CI)
MF C18 H19 N3 O . 1/2 C3 H8 O . Cl H

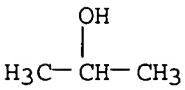
CM 1

10/762,552R>



● HCl

CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 ful
FULL SEARCH INITIATED 08:15:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 158 TO ITERATE

100.0% PROCESSED 158 ITERATIONS 51 ANSWERS
SEARCH TIME: 00.00.01

L3 51 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.76	161.97

FILE 'CAPLUS' ENTERED AT 08:15:48 ON 05 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 4 Mar 2005 (20050304/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

10/762,552R>

```
=> s l3
L4        1312 L3

=> s l4 and (process or make or made or prepara? or sythesi?)
       2056920 PROCESS
       1371333 PROCESSES
       3059123 PROCESS
                 (PROCESS OR PROCESSES)
        205150 MAKE
        158473 MAKES
        353386 MAKE
                 (MAKE OR MAKES)
       1137247 MADE
            23 MADES
       1137267 MADE
                 (MADE OR MADES)
       1436226 PREPARA?
       2554887 PREPN
        198514 PREPNS
       2705271 PREPN
                 (PREPN OR PREPNS)
       3467614 PREPARA?
                 (PREPARA? OR PREPN)
            36 SYTHESI?
L5         189 L4 AND (PROCESS OR MAKE OR MADE OR PREPARA? OR SYTHESI?)

=> s l5 and amine
        253155 AMINE
        239528 AMINES
        387954 AMINE
                 (AMINE OR AMINES)
L6          11 L5 AND AMINE

=> s l5 and carbazolone
           145 CARBAZOLONE
            36 CARBAZOLONES
           159 CARBAZOLONE
                 (CARBAZOLONE OR CARBAZOLONES)
L7          18 L5 AND CARBAZOLONE

=> s l5 and formaldehyde
       133701 FORMALDEHYDE
          370 FORMALDEHYDES
       133806 FORMALDEHYDE
                 (FORMALDEHYDE OR FORMALDEHYDES)
L8          11 L5 AND FORMALDEHYDE

=> dup rem l8 l7 l6
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L6
L9          35 DUP REM L8 L7 L6 (5 DUPLICATES REMOVED)

=> d l9 ibib hitstr abs 1-35

L9   ANSWER 1 OF 35  CAPLUS  COPYRIGHT 2005 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:611926  CAPLUS
DOCUMENT NUMBER:          141:157118
TITLE:                    Process for the preparation of
                          ondansetron and its intermediates by use of a fixation
```

agent

INVENTOR(S): Hesoun, Dusan; Hykl, Jiri
PATENT ASSIGNEE(S): Synthon BV, Neth.
SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL

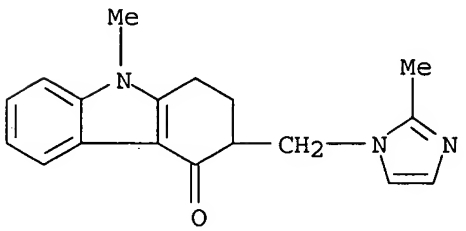
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2850381	A1	20040730	FR 2003-4140	20030403
GB 2398071	A1	20040811	GB 2003-4924	20030304
WO 2004065381	A1	20040805	WO 2003-EP2743	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004181076	A1	20040916	US 2004-762552	20040123
PRIORITY APPLN. INFO.:			US 2003-442055P P	20030124

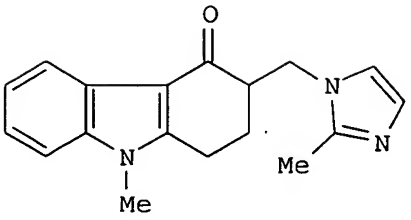
OTHER SOURCE(S): MARPAT 141:157118

IT **99614-02-5P**, Ondansetron
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); PREP (Preparation); PROC (Process)
(product; use of a fixation agent in the **preparation** of ondansetron by Mannich condensation - transamination)

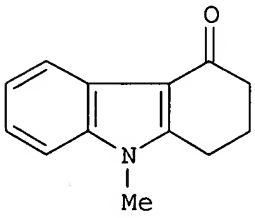
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB The invention is related to the use of a fixation agent in a **process** for **preparation** of ondansetron (I) by Mannich condensation - transamination, i.e. reacting carbazolone (II) with CH2O or precursor, an amine of formula R1R2NH or its salt, and 2-methyl-1H-imidazole or one of its salts at high temperature in a polar non-aqueous solvent. A mixture of two intermediates is claimed as a result of Mannich reaction. The advantages include higher reaction yield, and lower reaction time and temperature For example ondansetron was prepared by heating a mixture of II, paraformaldehyde, (CH3)2NH•HCl, acetic anhydride, acetic acid, and DMF at 100-110° for 1 h, followed by addition of 2-methyl-1H-imidazole to the above reaction mixture and stirring for 5 h.

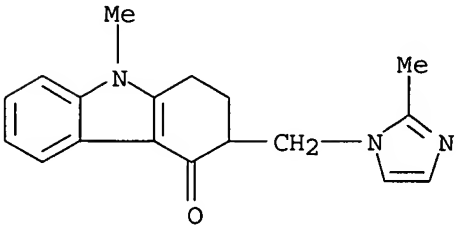
L9 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453190 CAPLUS
DOCUMENT NUMBER: 141:23529
TITLE: Novel **process** for the **preparation** of imidazolyl compounds, particularly ondansetron, cilansetron, and analogs, using oxazolidine derivatives as **formaldehyde** equivalents in a Mannich-like reaction
INVENTOR(S): Verbeek, Jan-Maarten; Van Der Meij, Paulus F. C.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046116	A1	20040603	WO 2003-EP50841	20031117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,

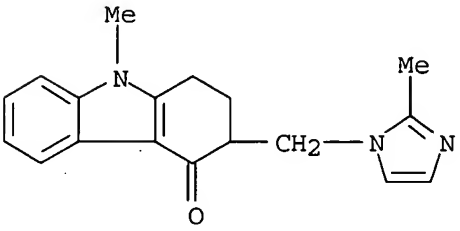
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-79838 A 20021118
OTHER SOURCE(S): CASREACT 141:23529; MARPAT 141:23529
IT **99614-01-4P 99614-02-5P**, Ondansetron
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; improved **preparation** of imidazole 5-HT antagonists (ondansetron and cilansetron) using oxazolidine derivs. as **formaldehyde** equivalent in Mannich-like reaction)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

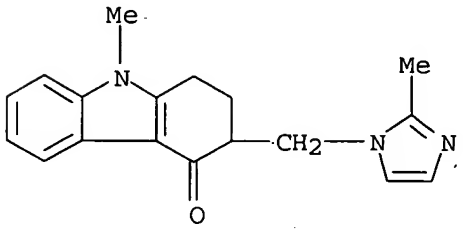
AB The invention relates to an improved method for the **preparation** of imidazolyl compds. I [wherein: Ra, Rb = C1-C6 alkyl, C1-C6 alkoxyalkyl, optionally substituted aryl or heteroaryl; or RaRb = fused homocyclic or heterocyclic system comprising one or more rings; Ra'Rb' = H2, carbon-carbon double bond (optionally part of an aromatic system); Rc = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxyalkyl, or halogen; Rd = H or C1-C4 alkyl; Re = H or C1-C4 alkyl; m = 1 or 2; R1 = H or C1-C4 alkyl; as well as acid addition salts]. The method is characterized in that a cyclic ketone

of formula II reacts with an oxazolidine derivative III, followed by reaction with an imidazole IV, optionally followed by reaction with a suitable acid [wherein: R1, Rd, and Re = as given above; R = H, C1-C4 alkyl optionally substituted with OH or an optionally substituted aryl group; R', R'', R''', and R'''' = H or C1-C4 alkyl]. The method is especially useful for the **preparation** of selective neuronal 5-HT receptor antagonists, which are useful as anti-migraine and antipsychotic agents, e.g., ondansetron and cilansetron. The method is superior to prior art Mannich **processes** using **formaldehyde**, which give tar-like byproducts when scaled up. For instance, 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one and MeSO3H in BuOH were heated to 90° and then treated with 3-oxazolidineethanol in BuOH, and the mixture was heated for 50 min at 80°. Then, 2-methylimidazole in BuOH was added and the mixture was stirred for 2 h at 120°. Extraction and crystallization gave V.HCl, i.e. ondansetron HCl, in 70.1% yield and ≥ 95% purity, with an addnl. 14.5% product in the mother liquor. Similar **prepns.** of (±)-cilansetron HCl and another compound are also given.

L9 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:652673 CAPLUS
DOCUMENT NUMBER: 141:174173
TITLE: **Process** for the **preparation** of imidazolyl compounds
INVENTOR(S): Verbeek, Jan-Maarten; Van der Meij, Paulus F. C.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004158077	A1	20040812	US 2003-712258	20031114
PRIORITY APPLN. INFO.:			EP 2002-79838 A	20021118
			NL 2002-1021939 A	20021118

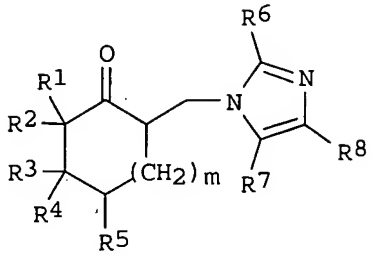
OTHER SOURCE(S): MARPAT 141:174173
IT **99614-01-4P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of imidazolyl compds.)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

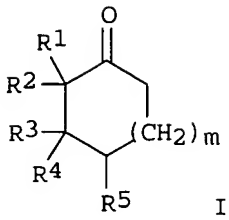


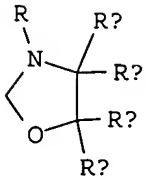
● HCl

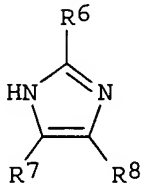
10/762,552R>

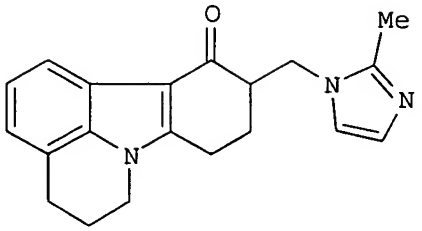
GI


I


II


III


IV


V

AB The invention discloses a method for the **preparation** of imidazolyl compds., such as I [R1, R3 = alkyl, alkoxyalkyl, optionally substituted aryl or heteroaryl; R1R3 = fused homocyclic or heterocyclic system comprising one or more rings; R2, R4 = H, double bond (optionally part of an aromatic system); R3 = H, alkyl, alkoxy, alkoxyalkyl, halogen ; R4, R5, R7, R8 = H, alkyl; m = 1 - 2; R6 = H, alkyl, acid addition salts], by reacting a cyclic ketone of formula II with an oxazolidine derivative III (R = H, alkyl optionally substituted with OH or an optionally substituted aryl group; Ra, Rb, Rc, Rd= H, alkyl), followed by reaction with an imidazole IV. Thus, 5,6,9,10-tetrahydro-4H-pyrido[3,2,1-jk]carbazol-11(8H)-4-one and MeSO3H in BuOH were heated to 70° C and then treated with 3-oxazolidineethanol in BuOH, and the mixture was heated for 50 min at 80° C. Then, 2-methylimidazole in BuOH was added and the mixture was stirred for 2 h at 120° C to afford V.HCl in 77% yield. The method is especially useful for the **preparation** of selective neuronal 5-HT receptor antagonists, which are useful as anti-migraine and antipsychotic agents.

L9 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:354930 CAPLUS
DOCUMENT NUMBER:	140:357350
TITLE:	Neutralization, cooling and crystallization **process** for the **preparation** of high-purity ondansetron hydrochloride dihydrate from ondansetron free base
INVENTOR(S):	Czibula, Laszlo; Dobay, Laszlo; Werkne Papp, Eva; Nagyne Bagdy, Judit; Deutschne Juhasz, Ida; Ueberhardt, Tamasne; Terdy, Laszlo; Hegedus, Istvan; Toth, Geza; Olah, Ruben
PATENT ASSIGNEE(S):	Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SOURCE:	PCT Int. Appl., 10 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035567	A1	20040429	WO 2003-HU81	20031016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

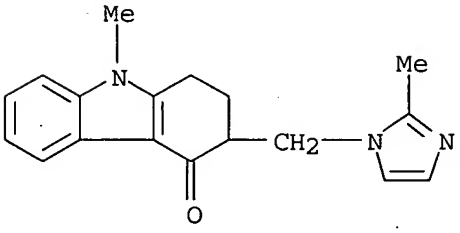
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: HU 2002-3547 A 20021017

IT **103639-04-9P**, Ondansetron hydrochloride dihydrate
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(neutralization and cooling and crystallization **process** for the **preparation** of high-purity ondansetron hydrochloride dihydrate from ondansetron free base)

RN 103639-04-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



● HCl

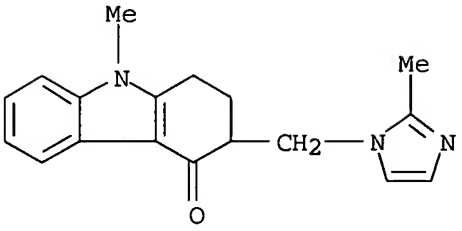
●2 H_2O

IT **99614-02-5**, Ondansetron
RL: RCT (Reactant); RACT (Reactant or reagent)
(neutralization and cooling and crystallization **process** for the **preparation** of high-purity ondansetron hydrochloride dihydrate from ondansetron free base)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

10/762,552R>



AB High-purity ondansetron hydrochloride dihydrate, containing ≤0.10% chemical impurities, is prepared by the neutralization of ondansetron base with aqueous HCl in water at 95-100° to pH 1-2 and cooling the filtered solution at 0.1-1°/min to 20-25° to promote ondansetron hydrochloride dihydrate crystallization

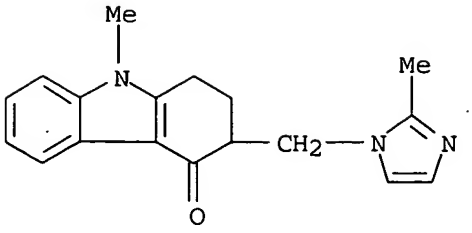
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:568259 CAPLUS
DOCUMENT NUMBER: 141:128823
TITLE: Ondansetron crystal forms and **process** for their **preparation**
INVENTOR(S): Westheim, Raymond Josef Hubertus; Van Dalen, Frans
PATENT ASSIGNEE(S): Synthon BV, Neth.
SOURCE: Fr. Demande, 35 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2849852	A1	20040716	FR 2003-8044	20030702
NL 1022893	C2	20040713	NL 2003-1022893	20030311
WO 2004063189	A1	20040729	WO 2003-EP2745	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
GB 2398566	A1	20040825	GB 2003-6944	20030326
US 2004198794	A1	20041007	US 2004-750211	20040102
PRIORITY APPLN. INFO.:			US 2003-438780P P	20030109

IT **99614-02-5**, Ondansetron
RL: PRP (Properties); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ondansetron crystal forms and **process** for their **preparation**)

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



AB An ondansetron crystalline solid is prepared and characterized in that it has at least one of the following: a peak of endotherm of fusion ≥240°; trace quantities of a base or a residue of an alkaline metal, an **amine**, an ammonium ion, etc.; and a water content of 1.3-1.5%. X-ray diffraction patterns of the crystalline solids are presented.

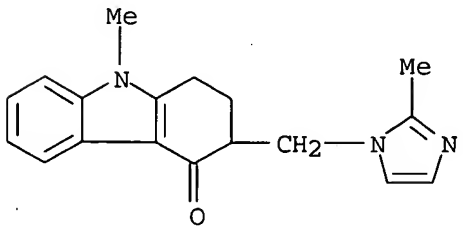
L9 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:538283 CAPLUS
DOCUMENT NUMBER: 140:270849
TITLE: Method for preparing 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl]-4H-carbazol-4-one or its pharmaceutically acceptable salts
INVENTOR(S): Kankan, Rajendra N.; Rao, Dharmaraj R.
PATENT ASSIGNEE(S): Cipla Ltd., India
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2207340	C2	20030627	RU 2001-122307	20010810

PRIORITY APPLN. INFO.: RU 2001-122307 20010810

IT **99614-01-4P 99614-02-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(method for preparing 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl]-4H-carbazole-4-one or its pharmaceutically acceptable salts)

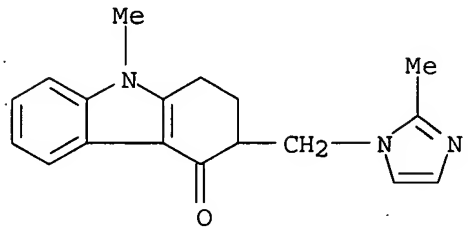
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

10/762,552R>

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title method involves the reaction of of tetrahydrocarbazolone (II) with morpholine at temperature from 110° with reflux followed by addition of **formaldehyde** or paraformaldehyde to yield the (morpholinomethyl)tetrahydrocarbazolone (III) that is reacted with 2-methylimidazole to yield 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl] -4H-carbazol-4-one (I, m.p. 155-156°; maximum pharmaceutical dosage concentration 10.2 mg/kg) followed by acid salification to give I salts (e.g., I hydrochloride, m.p. 186-187°; maximum pharmaceutical dosage concentration 10.3 mg/kg).

L9 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:947652 CAPLUS
DOCUMENT NUMBER: 139:399828
TITLE: Crystal forms of ondansetron, method for **preparation** and use in drug formulations
PATENT ASSIGNEE(S): Synthon B.V., Neth.
SOURCE: Ger. Gebrauchsmusterschrift, 42 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

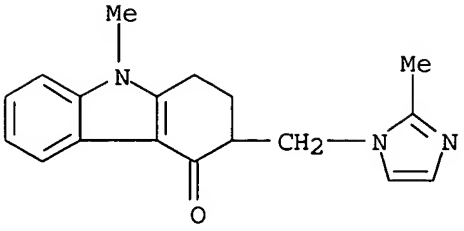
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20312772	U1	20031204	DE 2003-20312772	20030819

PRIORITY APPLN. INFO.: DE 2003-20312772 20030819

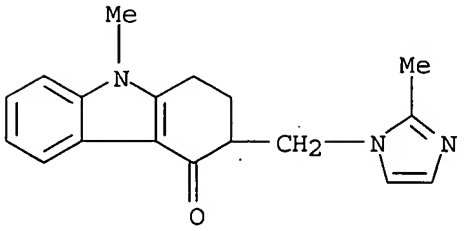
IT **99614-02-5P**, Ondansetron
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(crystal forms of ondansetron, method for **preparation** and use in drug formulations)

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

10/762,552R>



IT **103639-04-9**, Ondansetron hydrochloride dihydrate
RL: RCT (Reactant); RACT (Reactant or reagent)
(crystal forms of ondansetron, method for **preparation** and use in drug formulations)
RN 103639-04-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



● HCl

● 2 H_2O

AB The invention concerns crystal forms of ondansetron that have a m.p. equal or higher than 240 °C and that contain traces of alkali metals (sodium), **amines** or ammonium originating from the **prepn**.; the contaminations are in the range of 1 ppm to 1000 ppm; the crystals can also contain 1.3-1.5 % water. Ondansetron crystals are characterized by their DTG curves and powder X-ray diffractograms. Thus ondansetron base was prepared from ondansetron hydrochloride dihydrate by dissolving the salt in ethanol and neutralizing with aqueous sodium hydroxide. The crystals were filtered, washed and dryed. Recrystalization of the ondansetron base was performed in methanol by heating and cooling; needle crystals were formed. An injection solution contained pro mL: ondansetron base 2.00 mg; citric acid monohydrate 0.5 mg; sodium citrate dihydrate 0.25; sodium chloride 9.0; 1 M hydrochloric acid 6.8 μL; addnl. 1 M hydrochloric acid or 1M sodium hydroxide to set pH 3-5; water to 1.0 mL; nitrogen or argon q.s.

L9 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:367310 CAPLUS
DOCUMENT NUMBER: 136:369715
TITLE: Regioselective **process** for the **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one
INVENTOR(S): Lee, Kwang-Ok; Kim, Hee-Seock; Ham, Young-Jin; Kim,

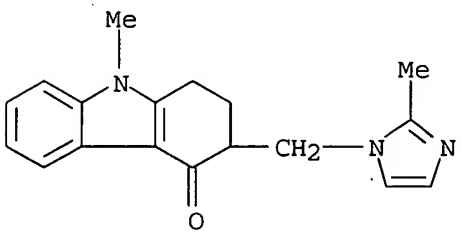
Maeng-Sup; Kim, Han-Kyeng; Kim, Cheol-Kyeung; Jung, Kum-Sin; Lee, Hoe-Chul; Kim, Ki-Eun; Lee, Gwan-Sun
PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6388091	B1	20020514	US 2001-990041	20011120
US 2002061919	A1	20020523		
KR 2002039223	A	20020525	KR 2001-41524	20010711
EP 1207160	A1	20020522	EP 2001-126998	20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002155075	A2	20020528	JP 2001-354204	20011120
JP 3472285	B2	20031202		

PRIORITY APPLN. INFO.: KR 2000-68931 A 20001120
KR 2001-41524 A 20010711
OTHER SOURCE(S): CASREACT 136:369715

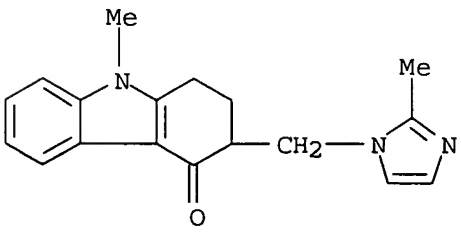
IT **99614-01-4P 99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective **process** for the **preparation** of)

RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



AB Pure 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one, or pharmaceutically acceptable salts (e.g., the

hydrochloride), useful as antiemetics (no data), are prepared in a high yield by a simple **process** in which 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one is reacted with a 2-methylimidazole derivative [e.g., 1-(N,N-dimethylaminomethyl)-2-methylimidazole] in an organic solvent or in a mixture of an organic solvent (e.g., acetonitrile) and water in the presence of a halosilane compound (e.g., chlorotrimethylsilane).

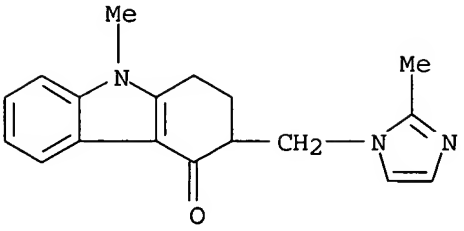
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:539655 CAPLUS
DOCUMENT NUMBER: 137:93754
TITLE: An improved **process** for preparing pure ondansetron hydrochloride dihydrate
INVENTOR(S): Hadas, Ramy Lidor; Bachar, Eliezer
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

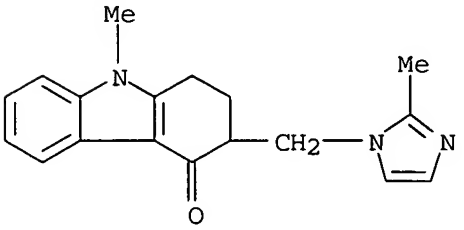
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002055492	A2	20020718	WO 2002-US853		20020111
WO 2002055492	A3	20030213			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2433720	AA	20020718	CA 2002-2433720		20020111
US 2002115707	A1	20020822	US 2002-45970		20020111
EP 1355881	A2	20031029	EP 2002-703115		20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA 2003005338	A	20040712	ZA 2003-5338		20020111
TR 200401460	T3	20040823	TR 2004-200401460		20020111
JP 2004526692	T2	20040902	JP 2002-556165		20020111
NO 2003003147	A	20030902	NO 2003-3147		20030709
PRIORITY APPLN. INFO.:			US 2001-261052P	P	20010111
			WO 2002-US853	W	20020111

IT **99614-02-5P**, Ondansetron
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved **process** for preparing pure ondansetron hydrochloride dihydrate)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

10/762,552R>



IT **103639-04-9P**, Ondansetron hydrochloride dihydrate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved **process** for preparing pure ondansetron hydrochloride dihydrate)
RN 103639-04-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



● HCl

●2 H_2O

AB Ondansetron hydrochloride dihydrate with a purity of ≥ 99.0% is prepared by treating 1,2,3,9-tetrahydro-9-methyl-4H-4-**carbazolone** with Me2NH.HCl and CH2O in presence of HOAc to give the 3-dimethylaminomethyl derivative as its HCl salt, treating the latter with 2-methylimidazole, converting the resulting ondansetron base to its hydrochloride, and crystallizing the hydrochloride dihydrate in presence of activated carbon SX-1.

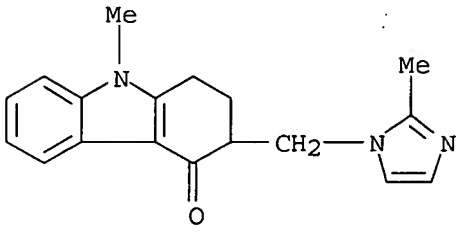
L9 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:849709 CAPLUS
DOCUMENT NUMBER: 137:353828
TITLE: Poly(alkylene oxide) having reduced formic compound content for release dosage form
INVENTOR(S): Fan, You-Ling
PATENT ASSIGNEE(S): Union Carbide Chemicals & Plastics Technology Corporation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002088217	A1	20021107	WO 2002-US13444		20020429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1385898	A1	20040204	EP 2002-734076		20020429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004530748	T2	20041007	JP 2002-585514		20020429
PRIORITY APPLN. INFO.:			US 2001-287885P	P	20010501
			WO 2002-US13444	W	20020429

IT **99614-01-4**, Ondansetron hydrochloride
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(poly(alkylene oxide) having reduced formic compound content obtained by treating with acid for release dosage form)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

AB Title particle comprises (i) a polymer polymerized from an alkylene oxide monomer having weight average weight 100,000-1,000,000 g/g mol and (ii) 0-200 ppm (based on total weight of the particle) formic compound, such as formic acid and its salts and esters, wherein the particle has a core and an shell with concentration gradient of the formic compound (the core contains higher formic compound content than shell). The method for reducing formic compound on surface of the polyoxyalkylene particle comprises treating the particle with an acid having pKa lower than the pKa of formic acid. Thus, a slurry containing 70 parts Polyox WSR N 80NF (polyethylene oxide) with inorg. formate level >500 ppm was mixed with 20 mL hydrochloric acid (37%) and 480 mL iso-Pr alc. at room temperature for 60 min and washed to give a polymer with inorg. formate content <150 ppm.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:39607 CAPLUS

10/762,552R>

DOCUMENT NUMBER: 136:96093
TITLE: Methods and compositions using a sibutramine metabolite or other dopamine uptake inhibitors for the treatment and prevention of sexual dysfunction
INVENTOR(S): Jerussi, Thomas P.; Senanayake, Chrisantha H.; Fang, Qun K.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 372,158.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

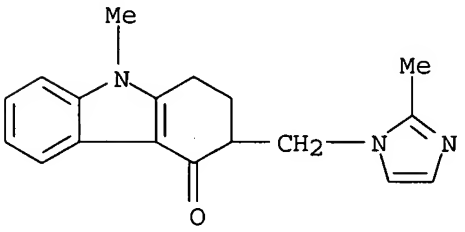
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6339106	B1	20020115	US 2000-662135	20000914
US 6331571	B1	20011218	US 1999-372158	19990811
EP 1475086	A2	20041110	EP 2004-18454	19990823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2002010198	A1	20020124	US 2001-770663	20010129
US 6476078	B2	20021105		
CA 2422246	AA	20020321	CA 2001-2422246	20010913
WO 2002022114	A2	20020321	WO 2001-US28598	20010913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001089062	A5	20020326	AU 2001-89062	20010913
EP 1320360	A1	20030625	EP 2001-968848	20010913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004529850	T2	20040930	JP 2002-526365	20010913
US 2003096792	A1	20030522	US 2002-278097	20021023
US 2003195261	A1	20031016	US 2003-395298	20030325
US 2004067957	A1	20040408	US 2003-665448	20030922
US 2004092481	A1	20040513	US 2003-693980	20031028
US 2004116534	A1	20040617	US 2003-717653	20031121
US 2004162355	A1	20040819	US 2004-769860	20040203
US 2004180857	A1	20040916	US 2004-806415	20040323

PRIORITY APPLN. INFO.:

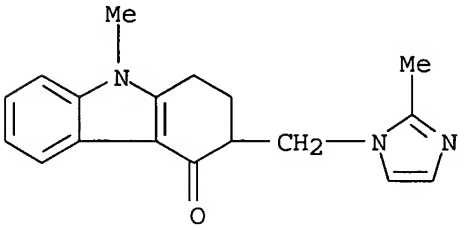
US 1999-372158	A2	19990811
US 1998-97665P	P	19980824
US 1998-99306P	P	19980902
EP 1999-945137	A3	19990823
US 1999-409889	A3	19991001
US 2000-662135	A2	20000914
US 2001-770663	A3	20010129
WO 2001-US28598	W	20010913
US 2001-806	A3	20011204
US 2002-160033	A3	20020604
US 2002-278097	A3	20021023

IT **99614-02-5**, Ondansetron **99614-02-5D**, Ondansetron, stereoisomers, metabolites and clathrates
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sibutramine metabolite or other dopamine uptake inhibitors for

treatment and prevention of sexual dysfunction)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



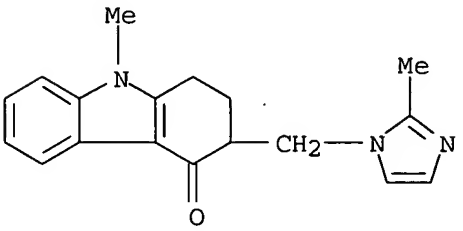
AB Methods are disclosed for the treatment and prevention of sexual dysfunction. The methods comprise the administration of a dopamine reuptake inhibitor and optionally an addnl. pharmacol. active compound Pharmaceutical compns. and dosage forms are also disclosed that comprise a dopamine reuptake inhibitor and optionally an addnl. pharmacol. active compound Preferred dopamine reuptake inhibitors are racemic or optically pure sibutramine metabolites and pharmaceutically acceptable salts, solvates, and clathrates thereof. Preferred addnl. pharmacol. active compds. include drugs that affect the central nervous system, such as 5-HT3 antagonists. **Preparation** of sibutramine metabolites is described.
REFERENCE COUNT: 125 THERE ARE 125 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:923891 CAPLUS
DOCUMENT NUMBER: 142:114062
TITLE: Method for **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-yl)methyl)-4h-carbazol-4-one
INVENTOR(S): Jang, Sa Jeong; Kim, Chi Hyeon; Seo, Gyeong Jae
PATENT ASSIGNEE(S): Hana Pharm. Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

KR 2002043338	A	20020610	KR 2000-72731	20001202
PRIORITY APPLN. INFO.:			KR 2000-72731	20001202

IT **99614-02-5P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(**preparation** of tetrahydromethylmethylimidazolylmethylcarbazolone)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



AB Provided a method for preparing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-yl)methyl)-4h-carbazol-4-one represented by the formula(1), which does not involves separation and purification **processes** of intermediates, thus economically manufacture the compound of the formula(1) by one-pot reaction. 1,2,3,9-Tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-yl)methyl)-4h-carbazol-4-one represented by the formula(1) is manufactured by reacting 4H-carbazol-4-one of the formula(2) and **amine** compound of the formula(3) with catalyst to synthesize enamine intermediate; continuously reacting the enamine intermediate with dihalogenated methane and 2-methylimidazole; and hydrolyzing the resultant compound to obtain a compound of the formula(1).

L9 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:730711 CAPLUS
DOCUMENT NUMBER: 135:272872
TITLE: **Process** for the **preparation** of 2-methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3-glyoxylate as an intermediate for the **preparation** of ondansetron hydrochloride dihydrate
INVENTOR(S): Czibula, Laszlo; Dobay, Laszlo; Greiner, Istvan; Werk Papp, Eva; Szantay, Csaba; Gazdag, Maria; Tarkanyi, Gabor; Zsoldos Babjak, Monika; Mihalyfi, Katalin
PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072716	A1	20011004	WO 2001-HU35	20010327

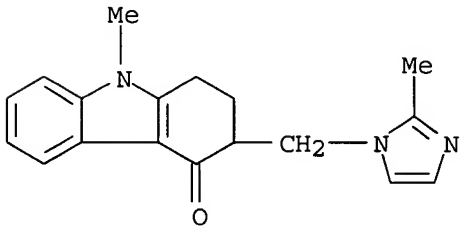
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1268441 A1 20030102 EP 2001-921685 20010327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: HU 2000-1287 A 20000328
WO 2001-HU35 W 20010327
OTHER SOURCE(S): CASREACT 135:272872

IT **99614-02-5P**, Ondansetron
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**process** for the **preparation** of 2-methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3-glyoxylate as an intermediate for the **preparation** of ondansetron hydrochloride dihydrate)

RN 99614-02-5 CAPLUS

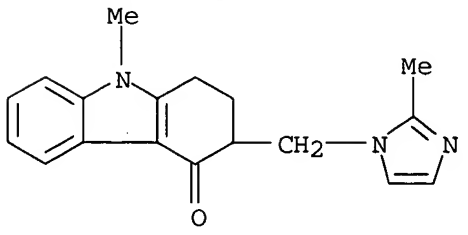
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



IT **103639-04-9P**, Ondansetron hydrochloride dihydrate
RL: SPN (Synthetic preparation); PREP (Preparation)
(**process** for the **preparation** of 2-methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3-glyoxylate as an intermediate for the **preparation** of ondansetron hydrochloride dihydrate)

RN 103639-04-9 CAPLUS

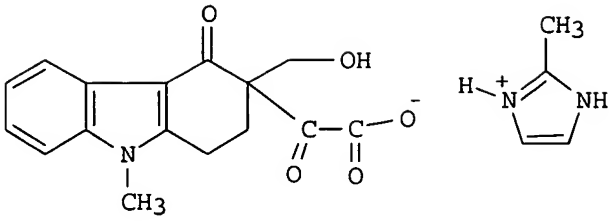
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



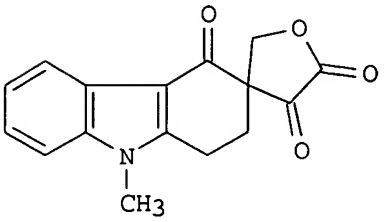
● HCl

● 2 H_2O

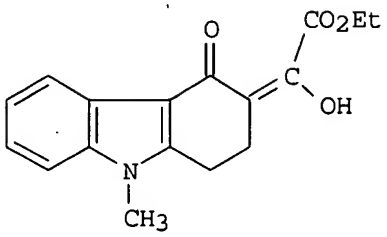
GI



I



II



III

AB 2-Methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3-glyoxylate (I), useful as an intermediate for the **preparation** of ondansetron hydrochloride dihydrate, is prepared in high yield and selectivity by the reaction of **formaldehyde** with 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3-glyoxylic acid lactone (II) with 2-methylimidazole in chloroform and water, or by the reaction of 9-methyl-3-ethoxyallyl-1,2,3,9-tetrahydro-4H-carbazol-4-one (III) in dioxane with aqueous **formaldehyde** and 2-methylimidazole.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

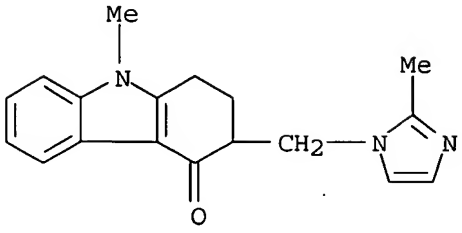
L9 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:894374 CAPLUS
DOCUMENT NUMBER: 142:93819
TITLE: **Process** for **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl) methyl)-4H-carbazole-4-one
INVENTOR(S): Hong, Yong Rae; Jang, Sa Jeong
PATENT ASSIGNEE(S): Hana Pharm. Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2001094388	A	20011101	KR 2000-16613	20000330

PRIORITY APPLN. INFO.: KR 2000-16613 20000330

IT **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of tetrahydromethylmethylimidazoleylmethylcarbazoleone)

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

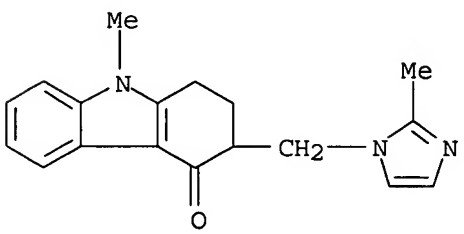


AB A **process** for producing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one is provided, therefore the titled compound can be economically and simply produced because a separation **process** of intermediates is not required. The **process** for producing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one of the formula(1) comprises the steps of: reacting 4H-carbazole-4-one of formula(2) with **amine** compds. of formula(3) in the presence of a catalyst selected from titanium chloride, p-toluenesulfonic acid and anhydrous calcium carbonate to produce enamine intermediate; reacting the enamine intermediate with dihalogenated methane of formula(5) to produce the compound of formula(6); and reacting the compound of formula(6) with 2-methylimidazole.

L9 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:855886 CAPLUS
DOCUMENT NUMBER: 142:56300
TITLE: **Process** for **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-yl)methyl)-4h-carbazol-4-one
INVENTOR(S): Yoo, Moo Hi; Lim, Geun Jho; Lim, Joong In; Kim, Dong Sung; Kim, Ik Yon; Yang, Jae Sung; Shin, Hee Chan
PATENT ASSIGNEE(S): Dong-A Pharm. Co., Ltd., S. Korea
SOURCE: Repub. Korea, No pp. given
CODEN: KRXXFC
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
KR 217466	B1	19990901	KR 1997-33265		19970716
PRIORITY APPLN. INFO.:			KR 1996-47758	A	19961023

IT **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of tetrahydromethylmethylimidazolylmethylcarbazolone)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



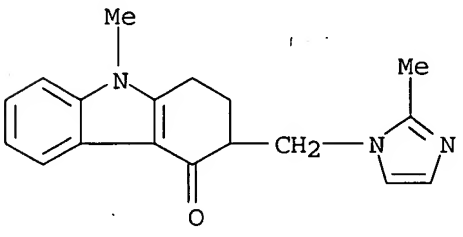
10/762,552R>

AB Provided is a novel method for manufacturing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one and its pharmaceutically acceptable salts in a high yield. 1,2,3,9-Tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one represented by the formula (1) is prepared by: reacting 1,2,3,9-tetrahydro-9-methyl-4H-carbazole-4-one with a **formaldehyde** producing material such as **formaldehyde** solution and paraformaldehyde and proper base, in the presence of proper acid, in reaction solution to obtain 1,2,3,9-tetrahydro-9-methyl-3-methylene-4H-carbozole-4-on of the formula (10) (wherein each R1 and R 2 is a linear or branched low alkyl of C1-C6, Ph group, or circle form represented by -(CH2)n- or -(CH2)a-X-(CH2)b-; X is N,O,S; each n,a, and b is an integer of 1-10); and adding Lewis acid and 2-methylimidazole to the resultant compound followed by refluxing in the solvent.

L9 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:89839 CAPLUS
DOCUMENT NUMBER: 128:102091
TITLE: **Preparation** of **carbazolones**
INVENTOR(S): He, Ping; Fan, Guoping
PATENT ASSIGNEE(S): Shanghai Hualian Pharmaceutical Co., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

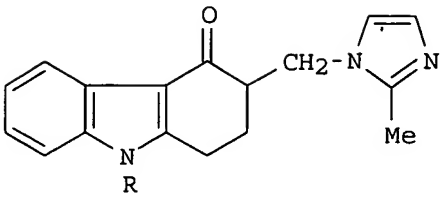
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1145902	A	19970326	CN 1995-111775	19950922

PRIORITY APPLN. INFO.: CN 1995-111775 19950922
OTHER SOURCE(S): CASREACT 128:102091; MARPAT 128:102091
IT **99614-01-4P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of **carbazolones**)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

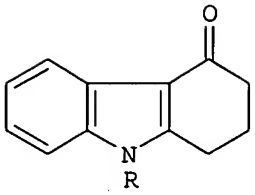


● HCl

GI



I



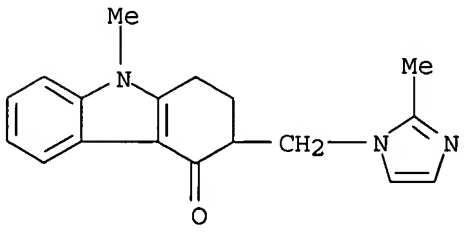
II

AB Imidazolymethylcarbazolones I (R = H, Me, Et, Pr, iso-Pr, cyclopentyl, etc.) and their salts were prepared from **carbazolones** II by alkoxycarbonylation with dialkyl carbonates followed by condensation with 1-(chloromethyl)-2-methylimidazole. Thus, reaction of II (R = H) with di-Et carbonbate gave Et 1,2,3,9-tetrahydrocarbazol-4-one-3-carboxylate, condensation of which with 1-(chloromethyl)-2-methylimidazole gave, after treatment with 20% HCl, the hydrochloride salt of I (R = H).

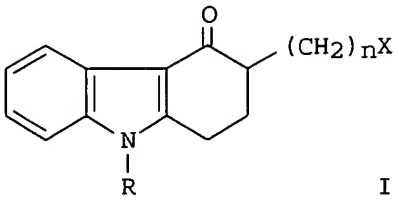
L9 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:286649 CAPLUS
DOCUMENT NUMBER: 130:281988
TITLE: **Preparation** of 3-(1-imidazolyl)methyl-2,3-dihydro-1H-carbazole-4(9H)-ones
INVENTOR(S): Jiang, Yunzhen; Hu, Song
PATENT ASSIGNEE(S): Institute of Drug, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1115760	A	19960131	CN 1994-107956	19940726

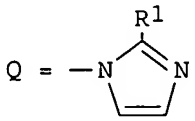
PRIORITY APPLN. INFO.: CN 1994-107956 19940726
OTHER SOURCE(S): MARPAT 130:281988
IT **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of 9-methyl- 3-(2-methyl-1H-imidazol-1-yl)methyl-2,3-dihydro-1H-carbazole-4(9H)-one)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



I



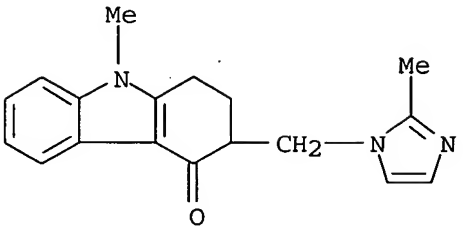
AB Title compds. [I; X = Q; n = 1; R = alkyl, aryl, cyclopropyl, cyclopentyl; R1 = alkyl, aryl, cyclopropyl, cyclopentyl], pharmaceutically acceptable salts or solvate thereof are prepared from 9-methyl-2,3,4,9-tetrahydro-1H-carbazole, deoxy-I (X = H; n = 0; R = above), QH (R1 = above), and polyformaldehyde or **formaldehyde** in acidic or neutral inert solvent (toluene, butanol, dimethylbenzene, petroleum ether, ethanediol, etc) at 100°-250° in the presence of acid (HCl, HNO3, HBr, TsOH, AcOH, MeSO3H) for 10-20 h. Thus, compound I (R = CH3; R1 = CH3; X = Q) was prepared

L9 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 1997:97162 CAPLUS
DOCUMENT NUMBER: 126:117973
TITLE: Method for **preparation** of 1,2,3,9-tetrahydro-4H-carbazol-4-one derivatives
INVENTOR(S): Ding, Juping; Ran, Hongxing
PATENT ASSIGNEE(S): Beijing Sida Biological Tech. Inst., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

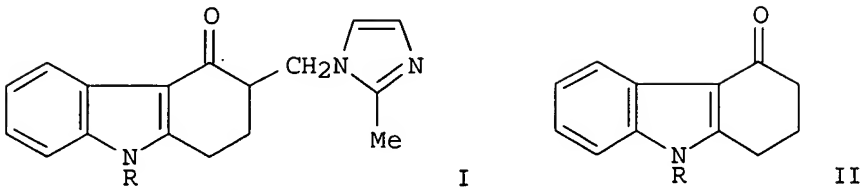
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1110970	A	19951101	CN 1994-104549	19940429
CN 1040644	B	19981111		

PRIORITY APPLN. INFO.: CN 1994-104549 19940429
OTHER SOURCE(S): CASREACT 126:117973; MARPAT 126:117973
IT **99614-02-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(Mannich reaction of carbazolone derivs. with secondary amine catalysts)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

10/762,552R>



GI



AB Carbazolones I (R = H, Me) were prepared by Mannich reaction of carbazolones II with **formaldehyde** and 2-methylimidazole in the presence of secondary amines or their salts. Thus, Mannich reaction of N-methyl-1,2,3,9-tetrahydro-4H-carbazol-4-one with paraformaldehyde and 2-methylimidazole in the presence of dimethylamine hydrochloride gave 1,2,3,9-tetrahydro-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one.

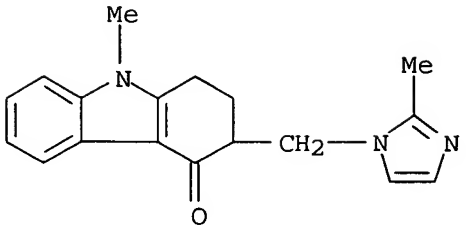
L9 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:281627 CAPLUS
DOCUMENT NUMBER: 124:317164
TITLE: Method of **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one or their salts or their hydrates by reaction of 3-[(dialkylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one with 2-methylimidazole over iodine
INVENTOR(S): Lavrova, Lidiya N.; Tarasov, Sergej Yu.; Yashunskij, Vladimir G.
PATENT ASSIGNEE(S): Nauchno-Proizvodstvennyj Tsentr "Farmzashchita", USSR
SOURCE: Russ. From: Izobreteniya 1995, (23), 168.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2041876	C1	19950820	RU 1993-57813	19931229

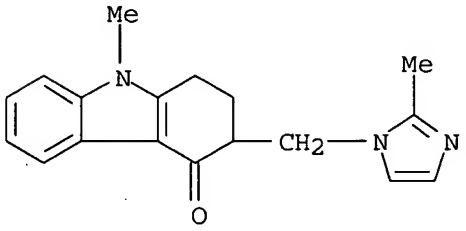
PRIORITY APPLN. INFO.: RU 1993-57813 19931229

IT **99614-02-5DP**, salts **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(method of **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one or their salts or their hydrates)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-

yl)methyl]- (9CI) (CA INDEX NAME)



RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



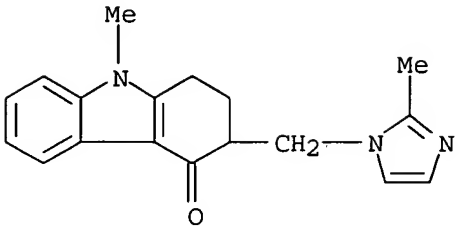
AB Title only translated.

L9 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:353207 CAPLUS
DOCUMENT NUMBER: 125:33645
TITLE: **Preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one and its salts
INVENTOR(S): Zhang, Yuebin; Wang, Anmin; Qi, Yunliang
PATENT ASSIGNEE(S): Qilu Pharmaceutical Factory, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CN 1113913	A	19951227	CN 1994-110609		19940527
PRIORITY APPLN. INFO.:			CN 1994-110609	A	19940527
			CN 1994-110549		19940421

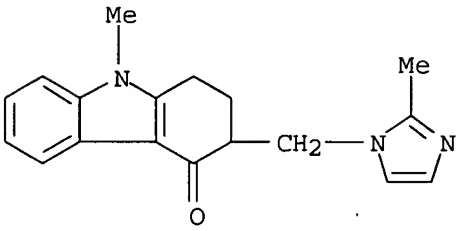
IT **99614-01-4P 99614-02-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one and its salts)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/762,552R>

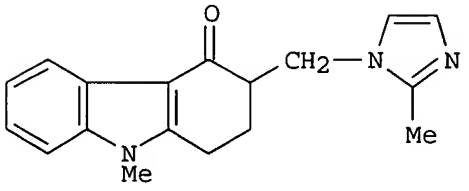


● HCl

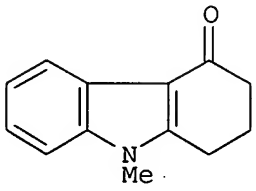
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compound (I) and its salts, useful as pharmaceuticals (no data), are prepared by Mannich reaction of II. A mixture of II 30, 2-methylimidazole hydrochloride 100, and paraformaldehyde 45 g was heated to 135°, cooled to room temperature, dissolved in MeOH and the solution refluxed to give 29.5 g I.HCl.

L9 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:341824 CAPLUS
DOCUMENT NUMBER: 125:10836
TITLE: **preparation** of 4H-**carbazolone** Mannich base compounds
INVENTOR(S): Wu, Guosheng; Zhou, Wenjun; Chen, Guoping
PATENT ASSIGNEE(S): Shanghai Organic Chemistry Inst., Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1

10/762,552R>

PATENT INFORMATION:

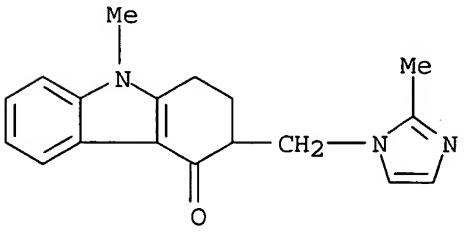
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1113239	A	19951213	CN 1994-114310	19941229
CN 1045438	B	19991006		

PRIORITY APPLN. INFO.: CN 1994-114310 19941229

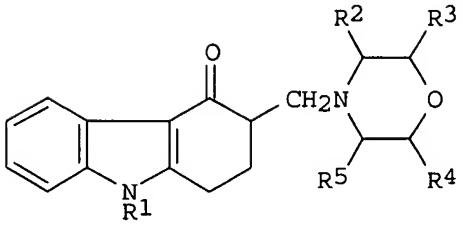
IT **99614-02-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of 4H-**carbazolone** Mannich base compds.)

RN 99614-02-5 CAPLUS

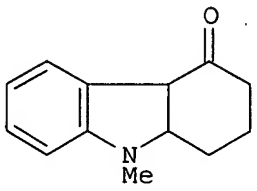
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



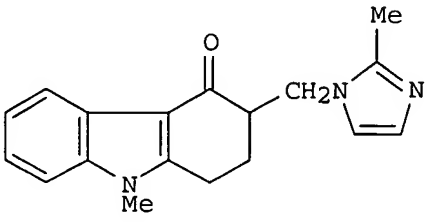
GI



I



II



III

AB The title compds. [I; R1 = C1-6 linear alkyl; R2-R5 = H, C1-3 alkyl], useful as precursors for 5-HT3 antagonists, are prepared A mixture of **carbazolone** II, paraformaldehyde, and morpholine in HOAc was refluxed ti give 88.3% Mannich base I (R1 = Me, R2-R5 = H), which was treated with 2-Me imidazole in PrOH at 95° to give 85.9% imidazolyl compound III as a 5-HT3 antagonist (no data).

L9 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:349677 CAPLUS
DOCUMENT NUMBER: 125:10819
TITLE: **preparation** of ondansetron and its salts

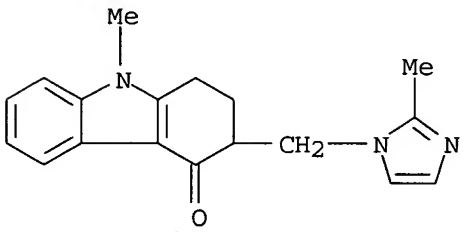
INVENTOR(S): Wu, Guosheng; Zhou, Wenjuan; Chen, Guoping
PATENT ASSIGNEE(S): Shanghai Organic Chemistry Inst., Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 21 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1113234	A	19951213	CN 1994-114311	19941229
CN 1045437	B	19991006		

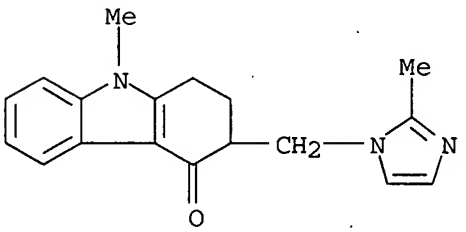
PRIORITY APPLN. INFO.: CN 1994-114311 19941229

IT **99614-02-5P**, Ondansetron **103639-04-9P**, Ondansetron hydrochloride dihydrate **128061-08-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of ondansetron and its salts)

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 103639-04-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

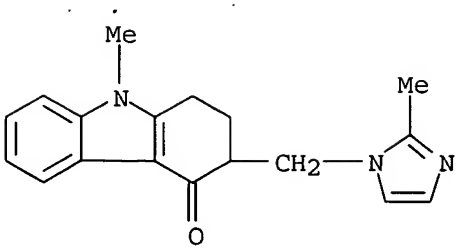


● HCl

●2 H_2O

RN 128061-08-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

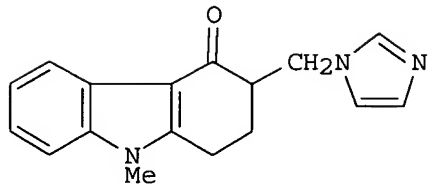
10/762,552R>



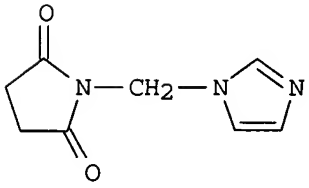
● HCl

● H_2O

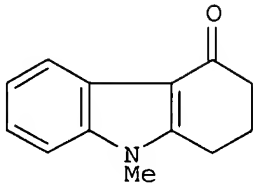
GI



I



II



III

AB Ondansetron (I) and its salts, useful as 5-HT3 antagonists (no data), are prepared A solution of succinimide in DMF was added dropwise to a solution of N-(chloromethyl)-2-methylimidazole and Na2CO3 in DMF with stirring at 60° and the mixture was heated to 100° to give 92% intermediate II, which in situ was refluxed with a solution of **carbazolone** III in EtOH at pH 6 to give 68.26% I. I was suspended in EtOAc and passed through a silica-gel column and eluted with 1N HCl to give 90.54% I.HCl.2H2O, which was dried in vacuo with P2O5 to give I.HCl.H2O.

L9 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

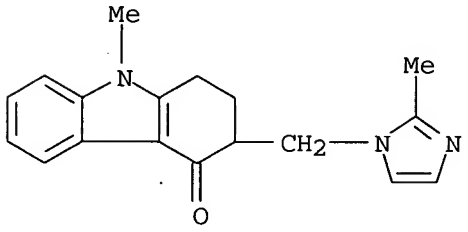
ACCESSION NUMBER:	1995:997817 CAPLUS
DOCUMENT NUMBER:	124:176095
TITLE:	**Preparation** of 3-[(2-methyl-1-imidazolyl)methyl]-9-methy-1,2,3,9-tetrahydro-4H-carbazol-4-one
INVENTOR(S):	Dong, Jichang
PATENT ASSIGNEE(S):	Shanghai Medical University, Peop. Rep. China
SOURCE:	Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp.

CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

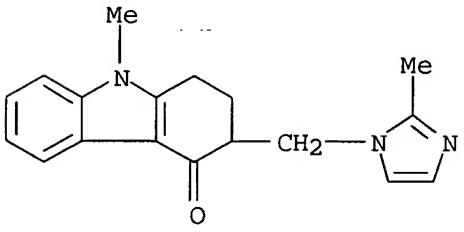
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1105994	A	19950802	CN 1994-112257	19940808
CN 1035672	B	19970820		

PRIORITY APPLN. INFO.: CN 1994-112257 19940808
OTHER SOURCE(S): CASREACT 124:176095

IT **99614-02-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of (methylimidazolyl)methyltetrahydrocarbazolone)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



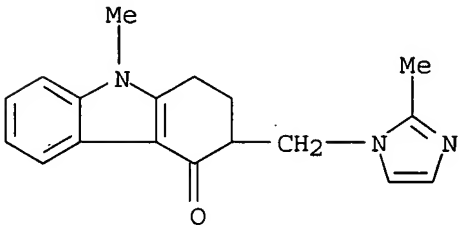
IT **99614-01-4P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of (methylimidazolyl)methyltetrahydrocarbazolone)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



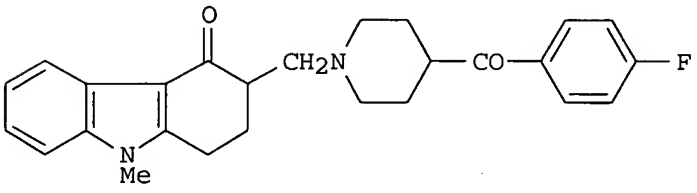
● HCl

AB The title compound (I) was prepared by reaction of 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one (II) with CH2O or paraformaldehyde and 2-methylimidazole in organic solvent in the presence of secondary **amine** or secondary **amine** salt and acid, or acidic ion exchange resin. Thus, reaction of II with 2-methylimidazole and paraformaldehyde in the presence of dimethylamine hydrochloride and 732-type ion exchange resin in EtOH at 50-140° for 80-200 h gave I.

L9 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:519312 CAPLUS
DOCUMENT NUMBER: 123:198558
TITLE: Synthesis, in-vitro biological evaluation and stereoselectivity of ondansetron analogs: novel 5-HT2A receptor antagonists
AUTHOR(S): Sigurd, Elz; Wolfgang, L. Heil
CORPORATE SOURCE: Inst. Pharmacy, Freie Univ. Berlin, Berlin (Dahlem), D-14195, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(7), 667-72
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **99614-02-5**, Ondansetron
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(**preparation**, in-vitro evaluation and stereoselectivity of ondansetron analogs as 5-HT2A receptor antagonists)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



I

AB The tetrahydrocarbazolone moiety of the 5-HT3 receptor antagonist ondansetron has been combined with mol. fragments of typical 5-HT2A receptor ligands. Several of the resulting compds. are potent 5-HT2A antagonists. The antipodes of the most potent compound (I) are analogs of ketanserin which display a high degree of stereoselectivity at 5-HT2A receptors (148:1).

L9 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:533965 CAPLUS
DOCUMENT NUMBER: 121:133965
TITLE: **Process** for preparing **carbazolone** derivatives
INVENTOR(S): Bod, Peter; Harsanyi, Kalman; Trischler, Ferenc; Fekecs, Eva; Csehi, Attila; Hegedues, Bela; Mersich,

Eva; Szabo, Gyoergyi; Horvath, Erika
PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SOURCE: Can. Pat. Appl., 28 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

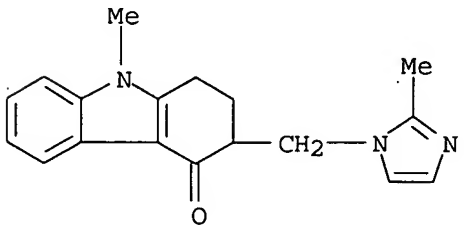
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2106642	AA	19940415	CA 1993-2106642	19930921
HU 65378	A2	19940502	HU 1992-3223	19921014
HU 212785	B	19961128		
HU 67103	A2	19950228	HU 1992-3222	19921014
HU 212934	B	19961230		
LV 10948	B	19960420	LV 1993-1096	19930927
LT 3074	B	19941125	LT 1993-1401	19931004
EP 595111	A1	19940504	EP 1993-116542	19931013
EP 595111	B1	19970910		
EP 595111	B2	20010816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1089941	A	19940727	CN 1993-119192	19931013
CN 1052979	B	20000531		
JP 06293734	A2	19941021	JP 1993-255880	19931013
JP 3378315	B2	20030217		
US 5416221	A	19950516	US 1993-135407	19931013
AT 157973	E	19970915	AT 1993-116542	19931013
ES 2106936	T3	19971116	ES 1993-116542	19931013
PL 174173	B1	19980630	PL 1993-300685	19931013
PL 174526	B1	19980831	PL 1993-324329	19931013
CZ 284223	B6	19980916	CZ 1993-2156	19931013
RU 2119914	C1	19981010	RU 1993-49416	19931013
SK 281243	B6	20010118	SK 1993-1110	19931013
US 5478949	A	19951226	US 1994-344871	19941125
CN 1235967	A	19991124	CN 1999-106445	19990511
CN 1083430	B	20020424		

PRIORITY APPLN. INFO.: HU 1992-3222 A 19921014
HU 1992-3223 A 19921014
US 1993-135407 A3 19931013
OTHER SOURCE(S): CASREACT 121:133965; MARPAT 121:133965

IT **99614-02-5**, Ondansetron
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates for, **carbazolones** as, **preparation** by improved **process**)

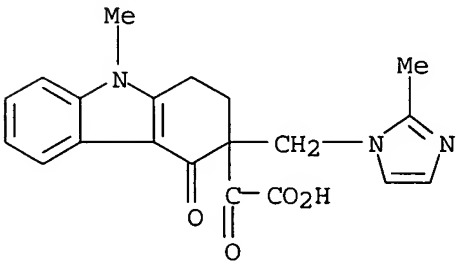
RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

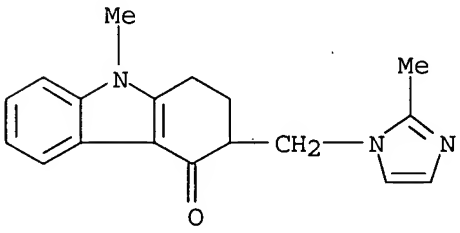


IT **157040-64-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(**preparation** and dealkoxylation of)
RN 157040-64-7 CAPLUS
CN 1H-Carbazole-3-acetic acid, 2,3,4,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-α,4-dioxo- (9CI) (CA INDEX NAME)

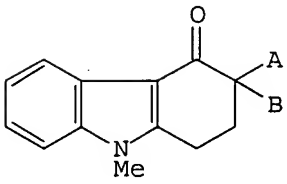


IT **99614-01-4P**, Ondansetron hydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

GI



I

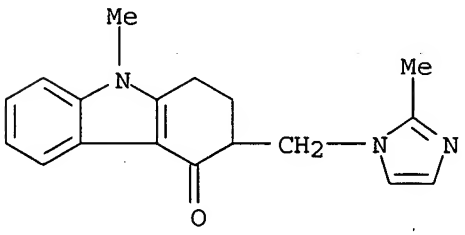
AB Title compds. I (A = RCH2 wherein A = HO, 2-methyl-1H-imidazol-1-yl; B = R1O2CCO wherein R1 = H, Me, Et; AB = R2O2CC(OH): wherein R2 = Me, Et, COCO2CH2) intermediates in the **preparation** of the known drug ondansetron (II), are prepared by an improved **process.** Na was added to a mixture containing 9-methyl-1,2,3,9-tetrahydro-4H-carbazol-4-one and di-Et oxalate to give I (AB = ethoxalyl) which was converted to I (AB = COCO2CO). This in 1,4-dioxane and Et3N was reacted with 2-methylimidazole to give II.

L9 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

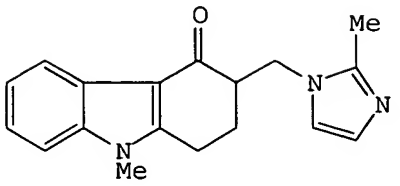
ACCESSION NUMBER: 1994:270402 CAPLUS
DOCUMENT NUMBER: 120:270402
TITLE: **Process** for **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one [ondansetron]
INVENTOR(S): Huguet Clotet, Juan; Caldero Ges, Jose Maria
PATENT ASSIGNEE(S): Vita-Invest, S.A., Spain
SOURCE: Span., 7 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2043535	A1	19931216	ES 1992-552	19920313
ES 2043535	B1	19940801		
SK 278786	B6	19980204	SK 1993-169	19930308
CZ 281753	B6	19970115	CZ 1993-396	19930310
NO 9300887	A	19930914	NO 1993-887	19930311
HU 64537	A2	19940128	HU 1993-718	19930312
HU 210775	B	19950728		
AT 9300487	A	19961215	AT 1993-487	19930312
AT 402730	B	19970825		
PL 170751	B1	19970131	PL 1993-298037	19930312
RU 2109741	C1	19980427	RU 1993-4833	19930312
FI 105098	B1	20000615	FI 1993-1104	19930312

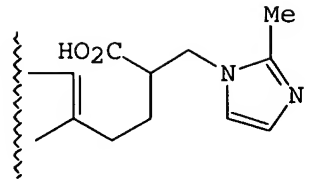
PRIORITY APPLN. INFO.: ES 1992-552 A 19920313
OTHER SOURCE(S): CASREACT 120:270402
IT **99614-02-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** and cyclization of)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



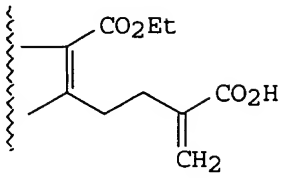
RL: SPN (Synthetic preparation); PREP (Preparation)
(**prepn.** of, via intramol. Friedel-Crafts reaction
GI



I



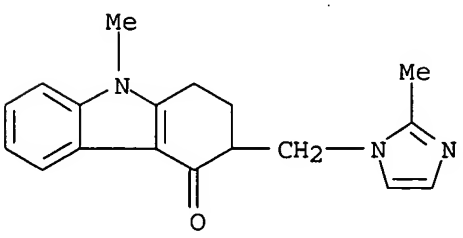
II



III

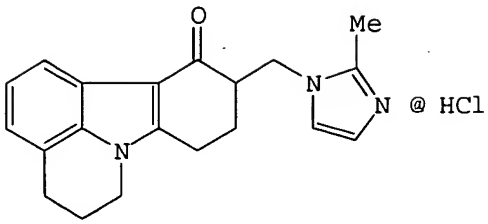
AB The antiemetic agent ondansetron (I) is prepared by cyclization of acid II under Friedel-Crafts acylation conditions, by acid catalysis and activation of the carboxyl group. Specifically, activation is via a mixed anhydride (preferably trifluoroacetic), and catalysis is by H3PO4. II was prepared in 3 steps: (1) C-alkylation of lithiated Et 1,2-dimethylindole-3-carboxylate by BrCH2C(:CH2)CO2H (50%); (2) alkaline saponification of the resultant acid-ester III to give the corresponding diacid (87%); and (3) addition reaction and decarboxylation of the diacid under heating in 2-methylimidazole at 160° (71%). Finally, cyclization of II by (CF3CO)2O in MeCN containing H3PO4 catalyst at 0° gave 55% I.

L9 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:134367 CAPLUS
DOCUMENT NUMBER: 120:134367
TITLE: Development of high-affinity 5-HT3 receptor antagonists. Structure-affinity relationships of novel 1,7-annulated indole derivatives. 1
AUTHOR(S): van Wijngaarden, Ineke; Hamminga, Derk; van Hes, Rolf; Standaar, Piet J.; Tipker, Jacobus; Tulp, Martin T. M.; Mol, Frans; Olivier, Berend; de Jonge, Adriaan
CORPORATE SOURCE: Sect. Drug Discovery, Solvay Duphar B.V., Weesp, 1380 DA, Neth.
SOURCE: Journal of Medicinal Chemistry (1993), 36(23), 3693-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** and 5-HT3 receptor antagonist activity of)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



10/762,552R>

GI

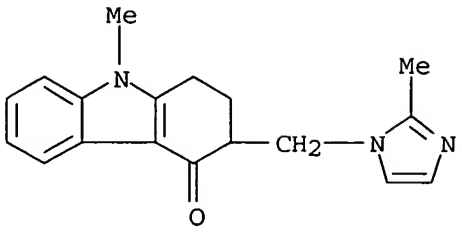


I

AB On the basis of the structures of ondansetron and GR 65,630, its ring-opened C-linked methylreceptor imidazole analog, novel 1,7-annulated indole derivs. were synthesized as potential 5-HT3 antagonists. Receptor binding studies show that all compds. display a high affinity for the 5-HT3 receptors. In both series annulation results in compds. being 7 and 4 times more potent than the refs. ondansetron and GR 65,630, resp. Similar to ondansetron, the 1,7-annulated indoles show little stereoselectivity. The (-)-isomers are only slightly more potent than the (+)-isomers. The receptor binding profile of 1-10-[(2-methyl-1H-imidazol-1-yl)methyl]-5,6,8,9,10,11-hexahydro-4H-pyrido[3,2,1-jk]carbazol-11-one hydrochloride (I) (INN cilansetron) shows that the compound displays, besides a high affinity for 5-HT3 receptors (Ki = 0.19 nM), a weak affinity for σ-receptors (Ki = 320 nM), muscarine M1 receptors (Ki = 910 nM), and 5-HT4 receptors (Ki = 960 nM) and no affinity (Ki ≥ 5000 nM) for all the other receptor types tested. The new compds. fit the proposed necessary chemical template for binding: a heteroarom. ring system, a coplanar carbonyl group, and a nitrogen center at well-defined distances. The enhanced potency of the annulated 1,7-indole derivs. indicates that the extra ring provides a favorable hydrophobic area for interaction with the 5-HT3 receptor site. In vivo cilansetron is more potent and induces less central side effects than ondansetron. At present cilansetron is in clin. trials.

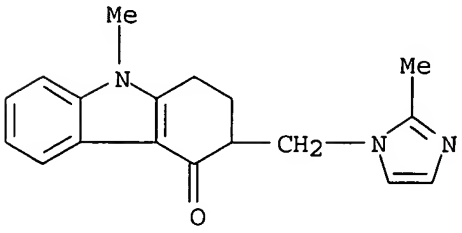
L9 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:164116 CAPLUS
DOCUMENT NUMBER: 120:164116
TITLE: Synthesis of antiemetic ondansetron
AUTHOR(S): Chen, Guohua
CORPORATE SOURCE: Res. Cent. Drugs Family Plann., China Pharm. Univ., Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (1993), 24(6), 241-2
CODEN: ZYGZEA; ISSN: 1001-8255
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 120:164116
IT **99614-01-4P**, Ondansetron hydrochloride **99614-02-5P**, Ondansetron
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, from 9H-carbazol-4-one via methylation, Mannich reaction, and reaction with methylimidazole)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/762,552R>

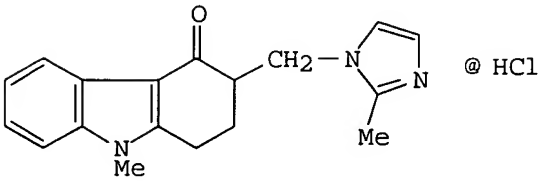


● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



@ HCl

I

AB Stirring a mixture of 1,2,3,9-tetrahydro-4H-carbazol-4-one, K2CO3, acetone, and Me2SO4 at room temperature for 36 h gave the 9-Me derivative, whose Mannich reaction with paraformaldehyde and Me2NH.HCl gave the 9-methyl-3-[(dimethylamino)methyl] derivative, which was treated with 2-methyl-1H-imidazole followed by treatment with HCl gave the title compound (I).

L9 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:509339 CAPLUS
DOCUMENT NUMBER: 113:109339
TITLE: Enhancement of antiemetic activity of a **carbazolone** derivative by cyclooxygenase inhibitors
INVENTOR(S): Bunce, Keith Thomas; Humphrey, Patrick Paul Anthony
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

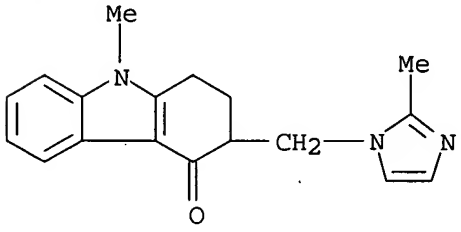
10/762,552R>

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3922263	A1	19900111	DE 1989-3922263	19890706
DK 8903364	A	19900108	DK 1989-3364	19890706
SE 8902458	A	19900108	SE 1989-2458	19890706
GB 2220352	A1	19900110	GB 1989-15499	19890706
GB 2220352	B2	19920318		
AU 8937904	A1	19900111	AU 1989-37904	19890706
AU 633496	B2	19930204		
FR 2633831	A1	19900112	FR 1989-9113	19890706
FR 2633831	B1	19931119		
NL 8901727	A	19900201	NL 1989-1727	19890706
JP 02076815	A2	19900316	JP 1989-175419	19890706
ZA 8905142	A	19900627	ZA 1989-5142	19890706
BE 1002295	A5	19901120	BE 1989-740	19890706
US 4983621	A	19910108	US 1989-375913	19890706
CH 679553	A	19920313	CH 1989-2511	19890706
CA 1330306	A1	19940621	CA 1989-604967	19890706

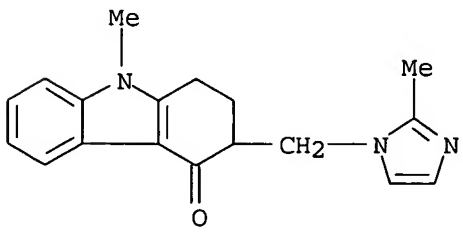
PRIORITY APPLN. INFO.: GB 1988-16187 A 19880707
OTHER SOURCE(S): CASREACT 113:109339

IT **99614-01-4**
RL: BIOL (Biological study)
(antiemetic, enhancement of activity of, by cyclooxygenase inhibitors)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **99614-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, as antiemetic)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



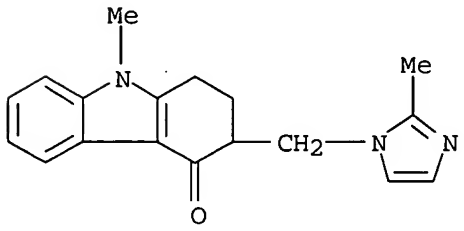
10/762,552R>

AB The antiemetic activity of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (I) is enhanced by cyclooxygenase inhibitors, such as indomethacin and piroxicam (no data). I was prepared by refluxing 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl with 4-methylimidazole in H2O, for 20 h. Tablets comprised I-HCl.2H2O 5.0, piroxicam 20.0, lactose 67.4, cellulose 25.73, starch 6.25, and Mg stearate 0.62 mg/each.

L9 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:205704 CAPLUS
DOCUMENT NUMBER: 110:205704
TITLE: Imidazolylmethylcarbazolone derivative as antidepressant
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 63165314	A2	19880708	JP 1987-318455		19871216
JP 2732844	B2	19980330			
DK 8706627	A	19880618	DK 1987-6627		19871216
AU 8782617	A1	19880623	AU 1987-82617		19871216
AU 608794	B2	19910418			
EP 276559	A2	19880803	EP 1987-311082		19871216
EP 276559	A3	19891018			
EP 276559	B1	19920805			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
US 4835173	A	19890530	US 1987-133887		19871216
AT 79031	E	19920815	AT 1987-311082		19871216
ES 2051754	T3	19940701	ES 1987-311082		19871216
ZA 8709458	A	19881130	ZA 1987-9458		19871217
PRIORITY APPLN. INFO.:			GB 1986-30071	A	19861217
			EP 1987-311082	A	19871216

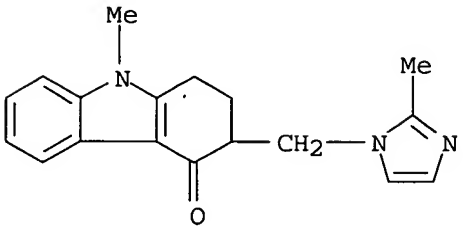
IT **99614-01-4P 99614-02-5P 103639-04-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** of, as antidepressant)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



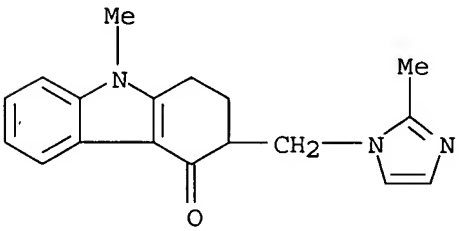
● HCl

10/762,552R>

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



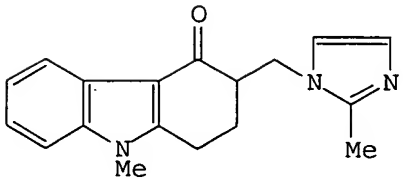
RN 103639-04-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



● HCl

● 2 H_2O

GI



I

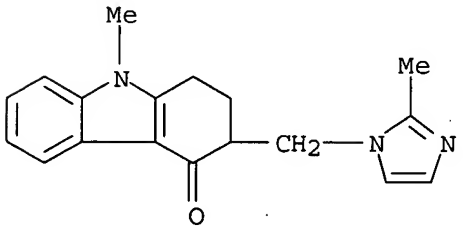
AB 1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (I), its physiol.-acceptable salts, and its solvates are prepared as antidepressants. 3-[(Dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl in water was treated with 2-methylimidazole, and the mixture refluxed 20 h, cooled, and filtered. The residue was washed with water and crystallized in MeOH to give I m.p. 231-232°.

L9 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:141572 CAPLUS

DOCUMENT NUMBER: 110:141572
TITLE: 1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one for treatment of cognitive disorders
INVENTOR(S): Tyers, Michael Brian
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 275668	A2	19880727	EP 1987-311078	19871216
EP 275668	A3	19891011		
EP 275668	B1	19920930		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8706626	A	19880618	DK 1987-6626	19871216
AU 8782614	A1	19880623	AU 1987-82614	19871216
AU 618520	B2	19920102		
JP 63253083	A2	19881020	JP 1987-318456	19871216
US 4845115	A	19890704	US 1987-133884	19871216
AT 81001	E	19921015	AT 1987-311078	19871216
ES 2052585	T3	19940716	ES 1987-311078	19871216
ZA 8709457	A	19881130	ZA 1987-9457	19871217
PRIORITY APPLN. INFO.:			GB 1986-30075 A	19861217
			GB 1987-26424 A	19871111
			EP 1987-311078 A	19871216

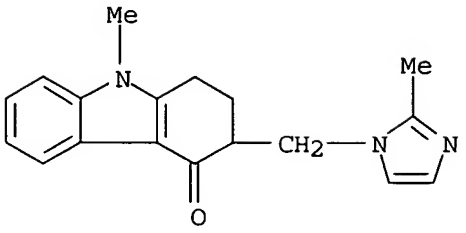
IT **99614-01-4P 99614-02-5P 103639-04-9P**
RL: PREP (Preparation)
(**preparation** of, as drug for treatment of cognitive disorders)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



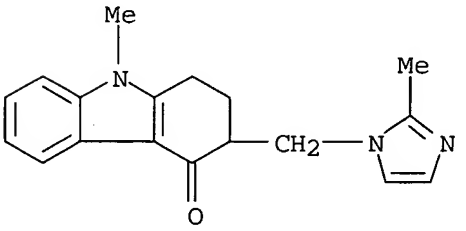
● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

10/762,552R>



RN 103639-04-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)



● HCl

● 2 H_2O

AB The title compound (I) is a drug for the treatment of dementia and other cognitive disorders. A mixture of 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl, 2-methylimidazole and H2O was refluxed for 20 h, to give I. I (1 and 10 mg/kg; s.c.) administered twice a day improved the performance of marmosets in a reverse learning task (Baker, H. F., et al., 1987). A tablet contained I 4.6888, CaHPO4 83.06, croscarmellose Na 1.8 and Mg stearate 0.45 mg.

L9 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:160385 CAPLUS
DOCUMENT NUMBER: 110:160385
TITLE: Antiemetic pharmaceuticals containing 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one and ranitidine
INVENTOR(S): Tyers, Michael Brian
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3740351	A1	19880609	DE 1987-3740351	19871127

AU 609028	B2	19910426	AU 1986-67037	19861230
AU 8667037	A1	19880630		
DK 8706246	A	19880529	DK 1987-6246	19871127
SE 8704747	A	19880529	SE 1987-4747	19871127
NL 8702853	A	19880616	NL 1987-2853	19871127
GB 2200046	A1	19880727	GB 1987-27836	19871127
GB 2200046	B2	19900926		
JP 63198623	A2	19880817	JP 1987-299653	19871127
FR 2613934	A1	19881021	FR 1987-16489	19871127
FR 2613934	B1	19930709		
ZA 8708927	A	19881026	ZA 1987-8927	19871127
CH 672068	A	19891031	CH 1987-4613	19871127
BE 1002249	A4	19901106	BE 1987-1354	19871127
CA 1296637	A1	19920303	CA 1987-552962	19871127
AT 8703125	A	19920515	AT 1987-3125	19871127
AT 395374	B	19921210		
IL 84638	A1	19920525	IL 1987-84638	19871127
AU 8781914	A1	19880602	AU 1987-81914	19871130
AU 616386	B2	19911031		

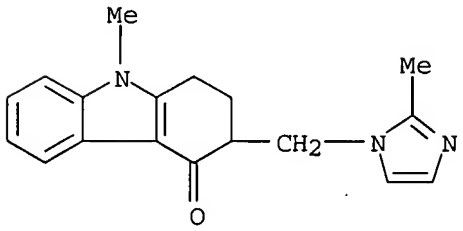
PRIORITY APPLN. INFO.: GB 1986-28474 A 19861128
OTHER SOURCE(S): CASREACT 110:160385

IT **119884-17-2**
RL: BIOL (Biological study)
(pharmaceuticals, for promotion of gastric emptying or prophylaxis of nausea and vomiting)

RN 119884-17-2 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate, mixt. with N-[2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-N'-methyl-2-nitro-1,1-ethenediamine monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 103639-04-9
CMF C18 H19 N3 O . Cl H . 2 H2 O



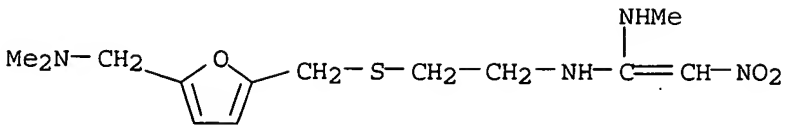
● HCl

●2 H_2O

CM 2

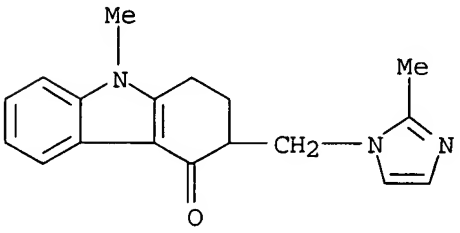
CRN 66357-59-3
CMF C13 H22 N4 O3 S . Cl H

10/762,552R>



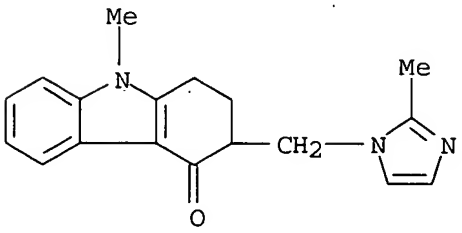
● HCl

IT **99614-01-4P 99614-02-5P**
RL: PREP (Preparation)
(**preparation** of, for pharmaceutical use)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



AB Pharmaceuticals for use in human or veterinary medicine contain 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl)]-4H-carbazol-4-one (I) or its salt or solvate and ranitidine (II) or its salt. 3-[(Dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl (1.7 g) was refluxed with 1.4 g 2-methylimidazole in H2O for 20 h to give 1.4 g I, which (18.3 g) was treated with a mixture containing iso-PrOH 90, H2O 18.3, and concentrate HCl 6.25 mL at room temperature for 17 h to give 20.6 g
I-HCl.2H2O (III). Tablets contained II-HCl 168.00, III 5.00, microcryst. cellulose 100.00, anhydrous lactose 75.25, and Mg stearate 1.75 mg each.

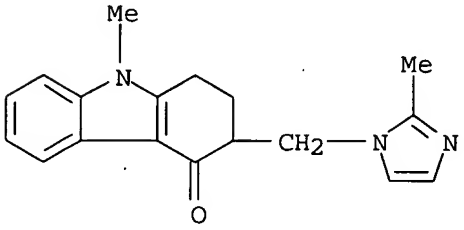
L9 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:549526 CAPLUS

DOCUMENT NUMBER: 109:149526
TITLE: **Preparation** of imidazolylmethylcarbazolones as central nervous system agents
INVENTOR(S): Coates, Ian Harold; Mitchell, William Leonard; Humber, David Cedric; Bell, James Angus; Ewan, George Blanch
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

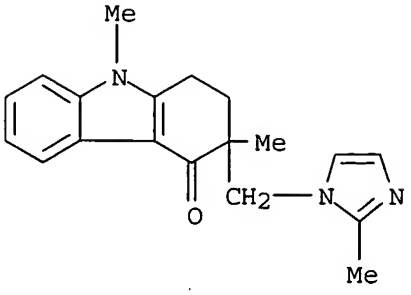
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3724322	A1	19880128	DE 1987-3724322	19870722
GB 2192885	A1	19880127	GB 1987-17353	19870722
GB 2192885	B2	19900207		
FR 2601951	A1	19880129	FR 1987-10388	19870722
FR 2601951	B1	19910426		
JP 63035570	A2	19880216	JP 1987-183240	19870722
NL 8701728	A	19880216	NL 1987-1728	19870722
BE 1000730	A5	19890321	BE 1987-814	19870722
CH 674008	A	19900430	CH 1987-2780	19870722

PRIORITY APPLN. INFO.: GB 1986-17994 A 19860723
OTHER SOURCE(S): MARPAT 109:149526

IT **99614-02-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of, in **preparation** of CNS agent)
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



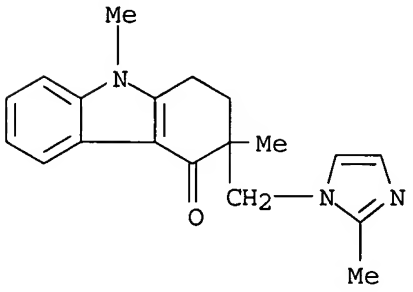
IT **116778-19-9P 116778-20-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, as nervous system agent)
RN 116778-19-9 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 116778-20-2 CAPLUS
CN Benzenesulfonic acid, methyl ester, compd. with 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (1:1) (9CI) (CA INDEX NAME)

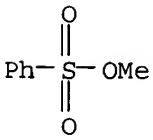
CM 1

CRN 116778-19-9
CMF C19 H21 N3 O

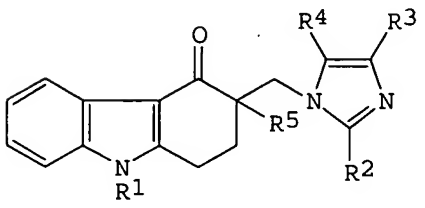


CM 2

CRN 80-18-2
CMF C7 H8 O3 S



GI



I

10/762,552R>

AB The title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, Ph, phenylalkyl, (modified) carboxylate, sulfonyl; one of R2, R3, R4 = H, alkyl, cycloalkyl, alkenyl, phenylalkyl, the others = H, alkyl; R5 = alkyl] were prepared as central nervous system agents (no data). 1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one was treated with LDA in THF at -78° over 5.5 h and then MeI was added. The mixture was stirred 2 h at -78° and 13 h at room temperature to give 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one, which was converted to its tosylate salt.

L9 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:8041 CAPLUS
DOCUMENT NUMBER: 110:8041
TITLE: **Preparation** and use of carbocyclic and heterocyclic esters and amides and imidazolylcarbazoles for treatment of psychosis, rhinitis, and pulmonary embolism and for facilitation of the nasal resorption of drugs
INVENTOR(S): Azria, Moise; Buchheit, Karl Heinz; Dixon, Keith Arnold; Engel, Guenther; Giger, Rudolf Karl Andreas
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 27 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3724059	A1	19880218	DE 1987-3724059	19870721
NL 8701682	A	19880216	NL 1987-1682	19870716
HU 45895	A2	19880928	HU 1987-3252	19870716
HU 202108	B	19910228		
FR 2602142	A1	19880205	FR 1987-10519	19870722
FR 2602142	B1	19960705		
CH 675072	A	19900831	CH 1987-2831	19870723
BE 1005921	A4	19940315	BE 1987-818	19870723
NO 8703133	A	19880201	NO 1987-3133	19870727
GB 2193633	A1	19880217	GB 1987-17768	19870727
GB 2193633	B2	19910417		
DK 8703924	A	19880131	DK 1987-3924	19870728
FI 8703280	A	19880131	FI 1987-3280	19870728
AU 8776190	A1	19880204	AU 1987-76190	19870728
AU 610074	B2	19910516		
SE 8702980	A	19880428	SE 1987-2980	19870728
SE 504184	C2	19961202		
ES 2010227	A6	19891101	ES 1987-2207	19870728
IL 83363	A1	19930708	IL 1987-83363	19870728
IL 96796	A1	19940731	IL 1987-96796	19870728
IL 96797	A1	19941229	IL 1987-96797	19870728
JP 63041429	A2	19880222	JP 1987-193629	19870729
JP 2632858	B2	19970723		
AT 8701912	A	19930515	AT 1987-1912	19870729
AT 396870	B	19931227		
CA 1327750	A1	19940315	CA 1987-543271	19870729
ZA 8705652	A	19890628	ZA 1987-5652	19870730
ES 2016440	A6	19901101	ES 1989-1137	19890331
ZA 8903145	A	19890628	ZA 1989-3145	19890427
ZA 8903146	A	19890628	ZA 1989-3146	19890730

GB 2231264	A1	19901114	GB 1990-8068	19900410
GB 2231264	B2	19910424		
GB 2231265	A1	19901114	GB 1990-8069	19900410
GB 2231265	B2	19910424		
AU 9171946	A1	19910509	AU 1991-71946	19910227
AU 642210	B2	19931014		
AU 9172910	A1	19910516	AU 1991-72910	19910314
AU 637878	B2	19930610		
CA 1334075	A1	19950124	CA 1992-616654	19920909
US 5561149	A	19961001	US 1995-403620	19950314

PRIORITY APPLN. INFO.:

GB 1986-18614	A	19860730
DE 1986-3626703	A1	19860807
GB 1987-17768	A3	19870727
US 1987-78336	B1	19870727
IL 1987-83363	A3	19870728
CA 1987-543271	A3	19870729
US 1989-423916	B1	19891019
US 1991-701934	B1	19910517
US 1992-890493	B1	19920528
US 1993-3926	B1	19930113
US 1993-111805	B1	19930825

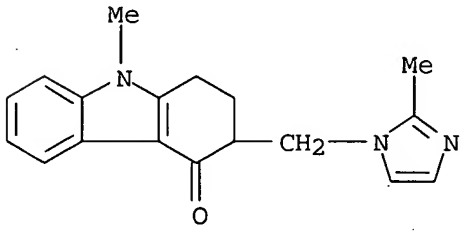
OTHER SOURCE(S): MARPAT 110:8041

IT **99614-02-5P**

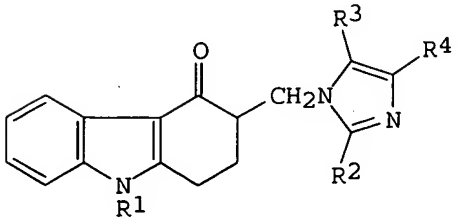
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, for lung embolism and mental disorder and rhinitis treatment)

RN 99614-02-5 CAPLUS

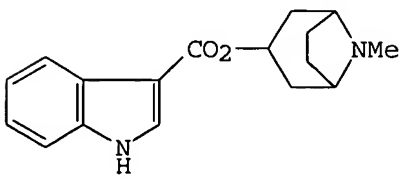
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



GI



I



II

10/762,552R>

AB Carboxylate and sulfonate esters, carboxamides, and sulfonamides of a variety of N-containing heterocyclic alcs. and **amines** with a variety of mono- and bicyclic carbocyclic and heterocyclic acids and imidazolylmethyltetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-9 cycloalkyl, C3-6 alkenyl, Ph, phenylalkyl; R2-R4 = H, C1-6 alkyl, C3-7 cycloalkyl, C2-4 alkenyl, phenylalkyl) were prepared (.apprx.80 compds.) for treatment of psychotic disorders, rhinitis, and pulmonary embolism and to improve the nasal resorption of other drugs such as peptides. endo-8-Methyl-8-azabicyclo[3.2.1]oct-3-yl indole-3-carboxylate (II) at 0.01-100 μg/kg i.p. reversed the stress-induced inhibition of social behavior in mice, and at 1-10 mg/kg orally inhibited the stress-induced elevation of plasma corticosterone in mice in a manner similar to diazepam. II reached a level of 200 ng/mL in the plasma 5-10 mins. after nasal administration, compared to 30-40 mins. after oral administration of the same dose. A nasal spray for treatment of rhinitis or pulmonary embolism contained II-HCl 100 mg, benzalkonium chloride 0.1 mg, 0.9% aqueous NaCl 0.6 mL, and distilled water 0.4 mL. Pseudotropine was chlorinated to 3-chloro-8-methyl-8-azabicyclo[3.2.1]octane, which was converted successively to the 3-cyano, 3-methoxycarbonyl, 3-carboxy, and 3-chlorocarbonyl derivs. followed by reaction with MeMgI and indole to produce 3β-(indole-3-carbonyl)-8-methyl-8-azabicyclo[3.2.1]octane.

L9 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1986:19589 CAPLUS
DOCUMENT NUMBER: 104:19589
TITLE: Heterocyclic compounds acting on specific 5-hydroxytryptamine receptors
INVENTOR(S): Coates, Ian Harold; Bell, James Angus; Humber, David Cedric; Ewan, George Blanch
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3502508	A1	19850814	DE 1985-3502508	19850125
DE 3502508	C2	19900503		
BE 901576	A1	19850725	BE 1985-214394	19850125
DK 8500357	A	19850726	DK 1985-357	19850125
DK 169521	B1	19941121		
FI 8500323	A	19850726	FI 1985-323	19850125
FI 84349	B	19910815		
FI 84349	C	19911125		
NO 8500300	A	19850726	NO 1985-300	19850125
NO 164025	B	19900514		
NO 164025	C	19900822		
SE 8500368	A	19850726	SE 1985-368	19850125
SE 460359	B	19891002		
SE 460359	C	19900201		
AU 8538097	A1	19850801	AU 1985-38097	19850125
AU 579132	B2	19881117		
NL 8500202	A	19850816	NL 1985-202	19850125
NL 190373	B	19930901		
NL 190373	C	19940201		
GB 2153821	A1	19850829	GB 1985-1889	19850125
GB 2153821	B2	19880120		

FR 2561244	A1	19850920	FR 1985-1056		19850125
FR 2561244	B1	19880304			
JP 60214784	A2	19851028	JP 1985-12318		19850125
JP 03078862	B4	19911217			
HU 37784	A2	19860228	HU 1985-296		19850125
HU 193592	B	19871130			
ES 539852	A1	19860716	ES 1985-539852		19850125
ZA 8500619	A	19860924	ZA 1985-619		19850125
CH 664152	A	19880215	CH 1985-346		19850125
IL 74165	A1	19881115	IL 1985-74165		19850125
CA 1252793	A1	19890418	CA 1985-472888		19850125
AT 8500204	A	19900815	AT 1985-204		19850125
AT 392276	B	19910225			
CN 85105643	A	19870506	CN 1985-105643		19850724
CN 1011237	B	19910116			
ES 548430	A1	19871001	ES 1985-548430		19851031
ES 556101	A1	19871216	ES 1986-556101		19860616
US 4695578	A	19870922	US 1986-931032		19861117
SK 277923	B6	19950809	SK 1991-4043		19911223
PRIORITY APPLN. INFO.:			GB 1984-1888	A	19840125
			GB 1984-25959	A	19841015
			GB 1985-1727	A	19850123
			GB 1985-1728	A	19850123
			US 1985-694790	A2	19850125
			US 1986-820743	A1	19860122

OTHER SOURCE(S): CASREACT 104:19589

IT **99614-73-0P 99614-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** and decomposition of)

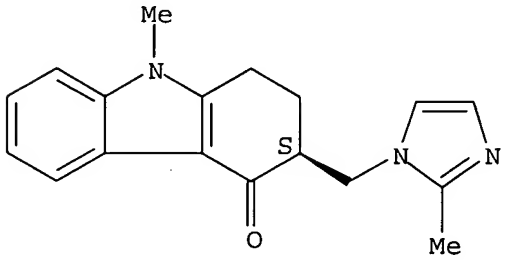
RN 99614-73-0 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [S-(R*,R*)]-, compd. with (S)-1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 99614-58-1
CMF C18 H19 N3 O

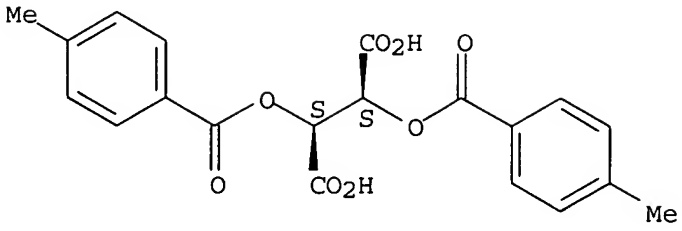
Absolute stereochemistry.



CM 2

CRN 32634-68-7
CMF C20 H18 O8

Absolute stereochemistry. Rotation (+).

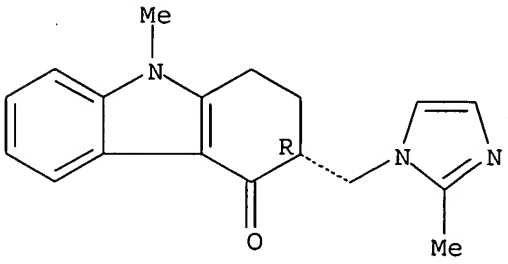


RN 99614-74-1 CAPLUS
CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [R-(R*,R*)]-, compd. with (R)-1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 99614-60-5
CMF C18 H19 N3 O

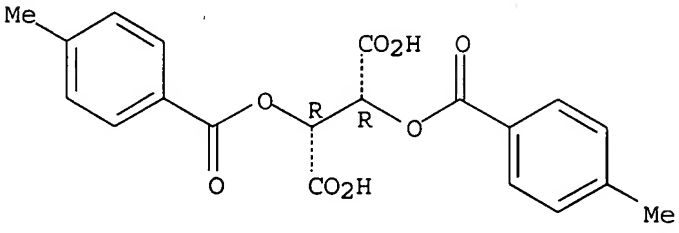
Absolute stereochemistry. Rotation (+).



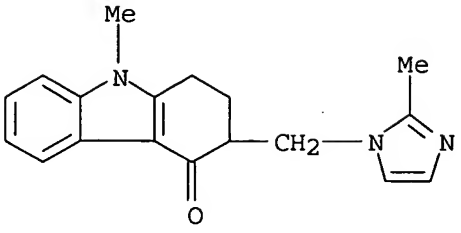
CM 2

CRN 32634-66-5
CMF C20 H18 O8

Absolute stereochemistry.

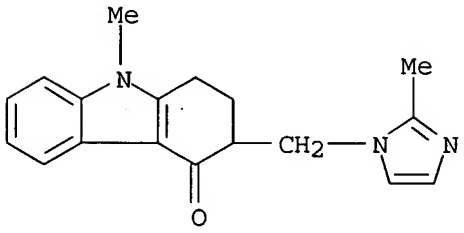


IT **99614-01-4P 99614-02-5P 99614-12-7P**
99614-50-3P 99614-51-4P 99614-58-1P
99614-59-2P 99614-60-5P 99614-61-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of, as analgesic and antidepressant)
RN 99614-01-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

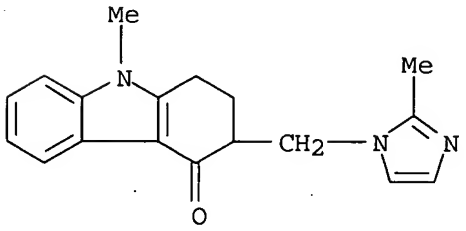
RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 99614-12-7 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

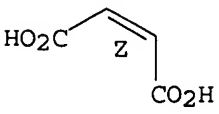
CRN 99614-02-5
CMF C18 H19 N3 O



CM 2

CRN 110-16-7
CMF C4 H4 O4

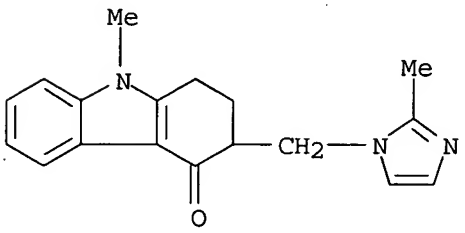
Double bond geometry as shown.



RN 99614-50-3 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

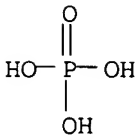
CM 1

CRN 99614-02-5
CMF C18 H19 N3 O



CM 2

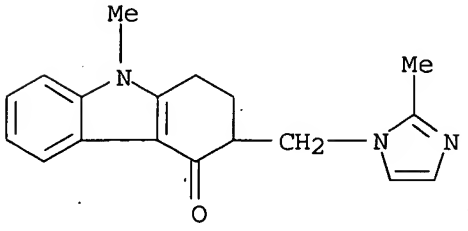
CRN 7664-38-2
CMF H3 O4 P



RN 99614-51-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (2:1) (9CI) (CA INDEX NAME)

CM 1

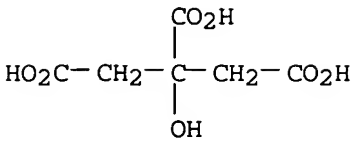
CRN 99614-02-5
CMF C18 H19 N3 O



CM 2

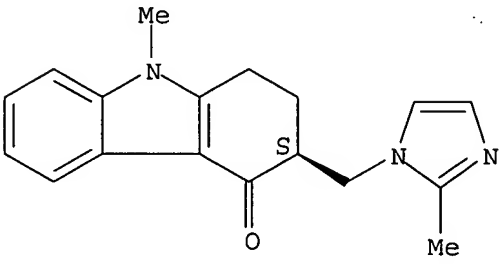
CRN 77-92-9
CMF C6 H8 O7

10/762,552R>



RN 99614-58-1 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

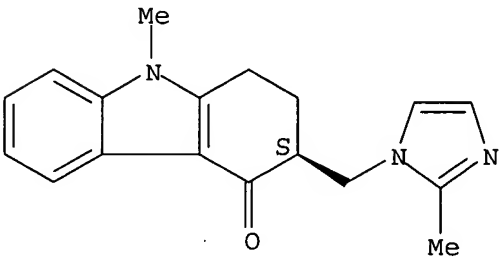


RN 99614-59-2 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3S)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99614-58-1
CMF C18 H19 N3 O

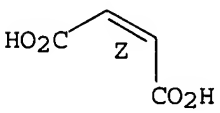
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

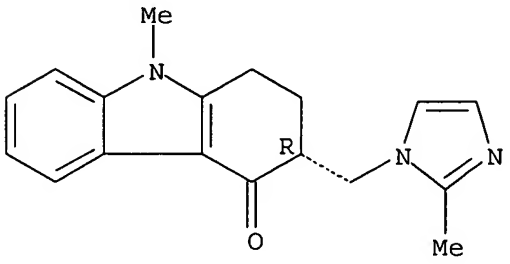


RN 99614-60-5 CAPLUS

10/762,552R>

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

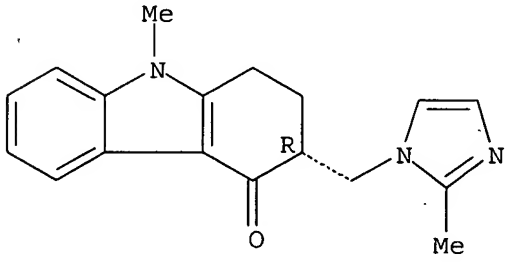


RN 99614-61-6 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3R)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99614-60-5
CMF C18 H19 N3 O

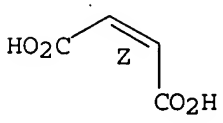
Absolute stereochemistry. Rotation (+).



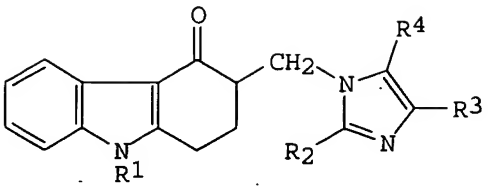
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



GI



I

AB Antidepressant and analgesic (no data) 3-(imidazol-2-ylmethyl)-4H-carbazol-4-ones I (R1 = H, alkyl, alkenyl, Ph, phenylalkyl; 1 of R2-R4 = H, alkyl, alkenyl, phenylalkyl, the others = H, alkyl) were prepared Thus, 3.809 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-4H-carbazol-4-one was treated with MeI to give 5.72 g 2,3,4,9-tetrahydro-N,N,N,9-tetramethyl-4-oxo-1H-carbazole-4-methanaminium iodide which (2.0 g) was stirred at 95° in DMF with 2-methylimidazole to give 0.60 g I (R1 = R2 = Me, R3 = R4 = H).

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	190.27	352.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-25.55	-25.55

STN INTERNATIONAL LOGOFF AT 08:19:01 ON 05 MAR 2005